

Table 1. Representative MEK Inhibitors

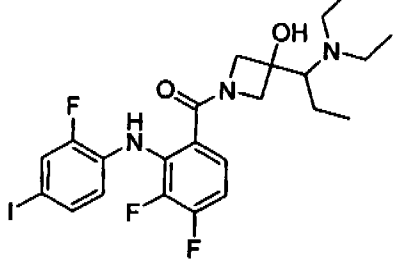
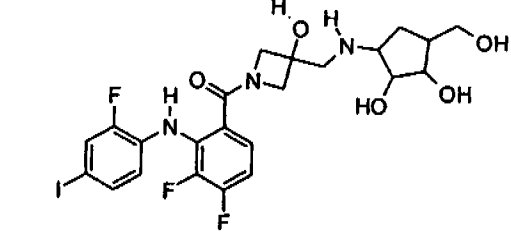
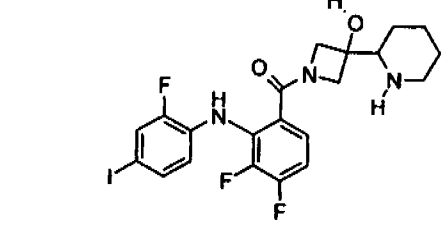
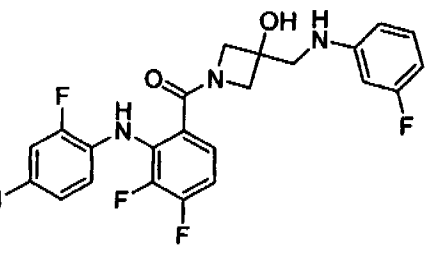
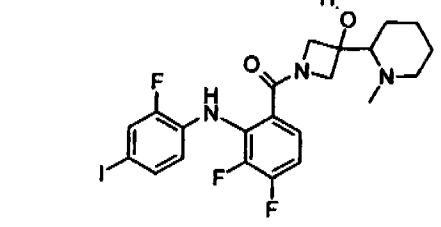
Cmpd No.	Structure	Name
226		3-[1-(diethylamino)propyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
227		3-({[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]methyl}amino)-5-(hydroxymethyl)cyclopentane-1,2-diol
228		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-piperidin-2-ylazetidin-3-ol
229		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(3-fluorophenyl)amino]methylazetidin-3-ol
230		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(1-methylpiperidin-2-yl)azetidin-3-ol

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Cmpd No.	Structure	Name
231		1-([1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl)methyl}guanidine
232		1-([1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl)methyl}-3-nitroguanidine
233		<i>N</i> -{1-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]ethyl}acetamide
234		(2 <i>R</i>)- <i>N</i> -{1-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]ethyl}-3,3,3-trifluoro-2-(methoxy)-2-phenylpropanamide
235		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[piperidin-4-ylmethyl]amino]methyl}azetidin-3-ol

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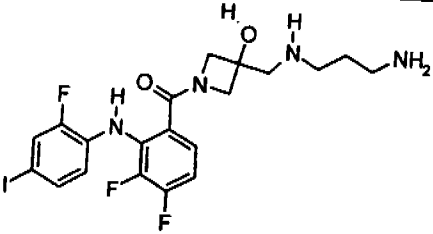
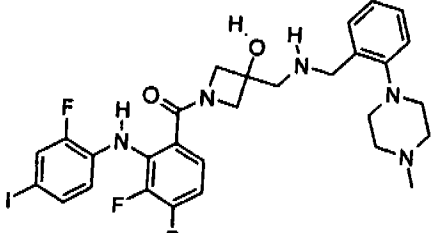
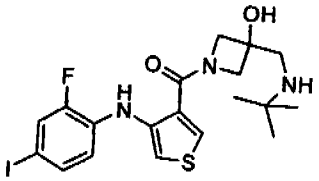
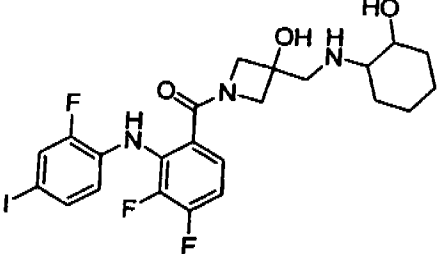
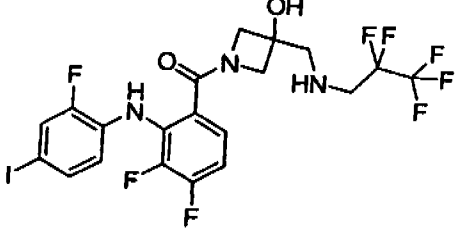
Cmpd No.	Structure	Name
236		3-({[(3-aminopropyl)amino]methyl}-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)azetidin-3-ol
237		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-({[(2-(4-methylpiperazin-1-yl)phenyl)methyl]amino)methyl}azetidin-3-ol
238		3-({[(1,1-dimethylethyl)amino]methyl}-1-({4-[(2-fluoro-4-iodophenyl)amino]-3-thienyl} carbonyl)azetidin-3-ol
239		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-({[(2-hydroxycyclohexyl)amino]methyl}azetidin-3-ol
240		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-({[(2,2,3,3,3-pentafluoropropyl)amino]methyl}azetidin-3-ol

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Cmpd No.	Structure	Name
241		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{(3,3,3-trifluoropropyl)amino}methyl}azetidin-3-ol
242		<i>N</i> -[3-({1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl}methyl)amino]phenyl]methanesulfonamide
243		<i>N</i> -{{1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl}methyl}methanesulfonamide
244		3-({1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl}methyl)amino)-1 <i>H</i> -pyrazol-5-ol

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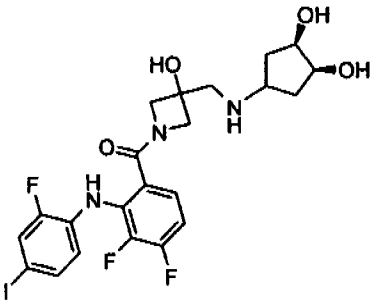
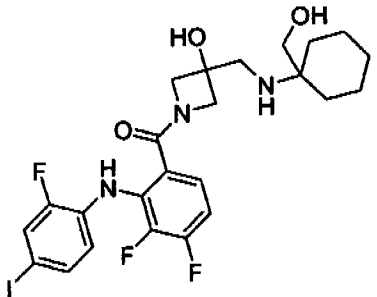
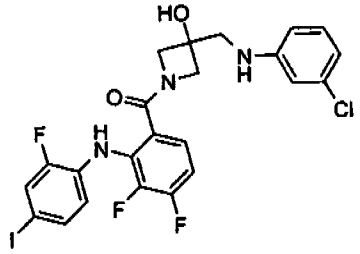
Cmpd No.	Structure	Name
245		(1 <i>R</i> ,2 <i>S</i>)-4-({[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]methyl}amino)cyclopentane-1,2-diol
246		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[1-(hydroxymethyl)cyclohexyl]amino}methyl)azetidin-3-ol
247		3-({[(3-chlorophenyl)amino]methyl}-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol

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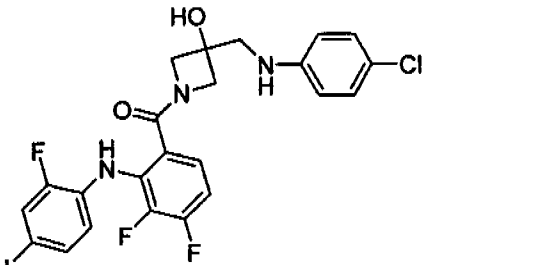
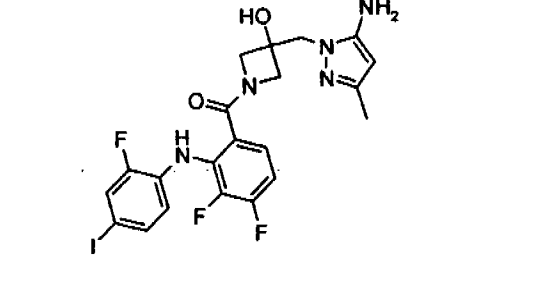
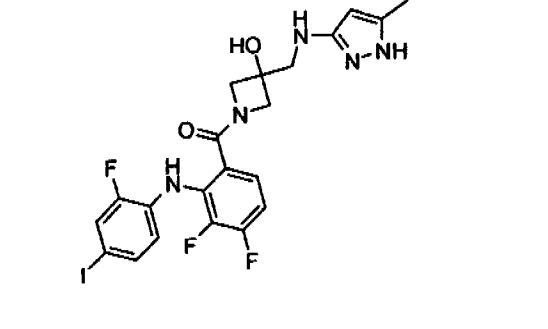
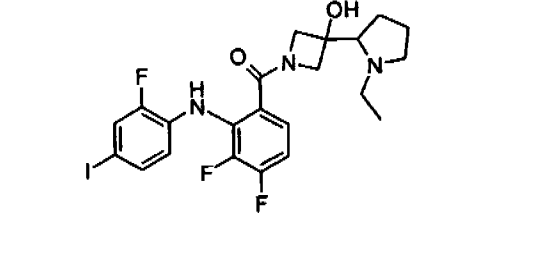
Cmpd No.	Structure	Name
248		3-([(4-chlorophenyl)amino]methyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
249		3-[(5-amino-3-methyl-1H-pyrazol-1-yl)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
250		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-([(5-methyl-1H-pyrazol-3-yl)amino]methyl)azetidin-3-ol
251		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(1-ethylpyrrolidin-2-yl)azetidin-3-ol

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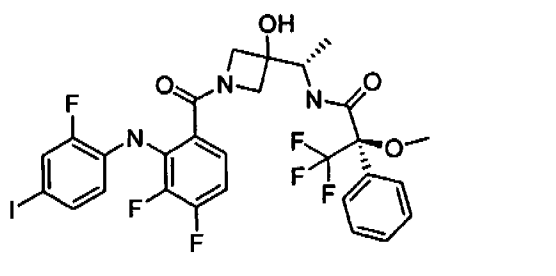
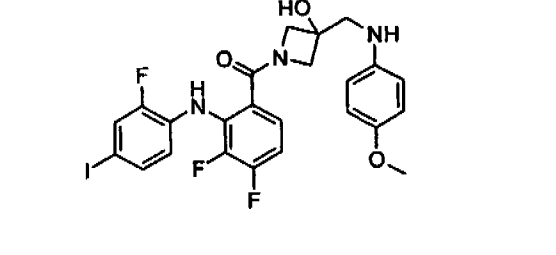
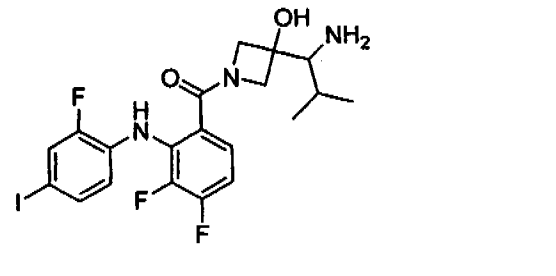
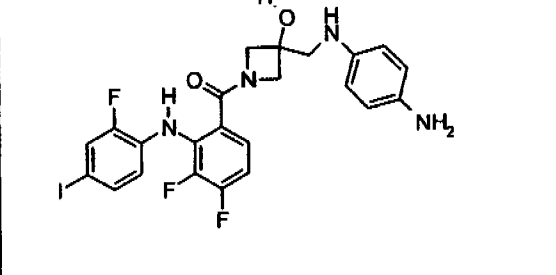
Cmpd No.	Structure	Name
252		(2R)-N-((1S)-1-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]ethyl)-3,3,3-trifluoro-2-(methoxy)-2-phenylpropanamide
253		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[4-(methoxy)phenyl]amino}methyl)azetidin-3-ol
254		3-(1-amino-2-methylpropyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
255		3-({[4-(aminophenyl)amino]methyl}-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol

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Cmpd No.	Structure	Name
256		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{(2-hydroxy-2-methylcyclopentyl)amino}methyl}azetidin-3-ol
257		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{1-[(4-hydroxycyclohexyl)amino]ethyl}azetidin-3-ol
258		methyl (2xi)-2-deoxy-2-({[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]methyl}amino)-beta-D-arabino-hexopyranoside
259		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-pyridin-2-ylazetidin-3-ol

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Cmpd No.	Structure	Name
260		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[1-(hydroxymethyl)cyclopentyl]amino}methyl)azetidin-3-ol
261		1-cyano-3-{{[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]methyl}guanidine
262		6-{{3-[(ethylamino)methyl]-3-fluoroazetidin-1-yl}carbonyl)-2,3-difluoro-N-(2-fluoro-4-iodophenyl)aniline
263		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(1-nitroethyl)azetidin-3-ol

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Cmpd No.	Structure	Name
264		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{[3-fluoro-4-hydroxyphenyl]amino}methyl}azetidin-3-ol
265		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{[2-fluoro-4-hydroxyphenyl]amino}methyl}azetidin-3-ol
266		3-(1-aminoethyl)-1-({8-chloro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)azetidin-3-ol
267		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[1-(methylamino)ethyl]azetidin-3-ol
268		1-({8-fluoro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol

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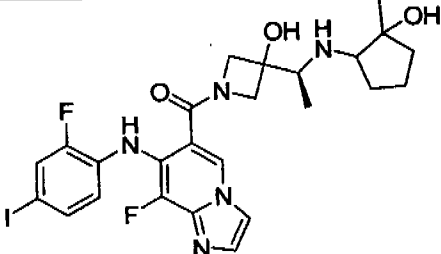
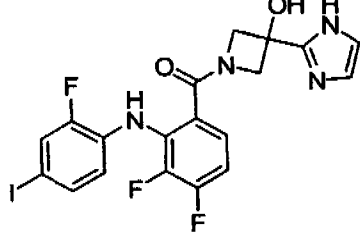
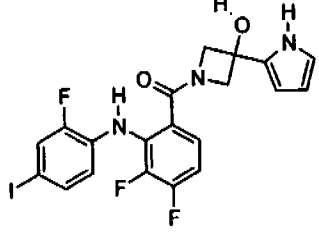
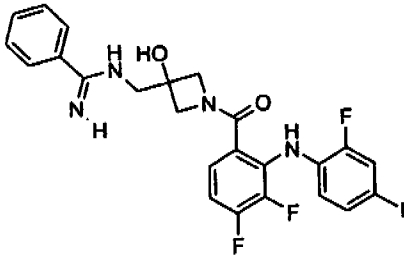
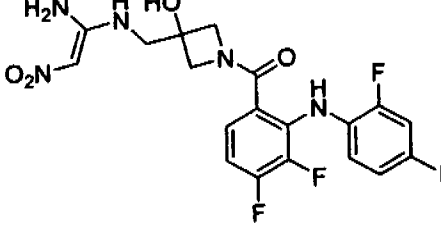
Cmpd No.	Structure	Name
269		1-({8-fluoro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)-3-((1S)-1-[(2-hydroxy-2-methylcyclopentyl)amino]ethyl)azetidin-3-ol
270		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(1H-imidazol-2-yl)azetidin-3-ol
271		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(1H-pyrrol-2-yl)azetidin-3-ol
272		N-{[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]methyl}benzenecarboximidamide
273		3-({[(E)-1-amino-2-nitroethenyl]amino}methyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol

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Cmpd No.	Structure	Name
274		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(1-methyl-1-nitroethyl)azetidin-3-ol
275		3-(1-amino-1-methylethyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
276		3-[(1H-benzimidazol-2-ylamino)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
277		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(1H-imidazol-2-ylamino)methyl]azetidin-3-ol
278		methyl {1-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]ethyl}carbamate

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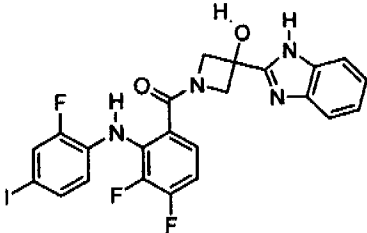
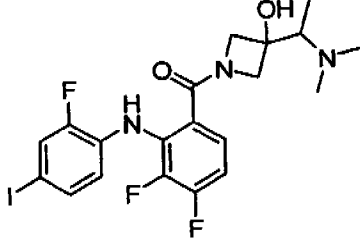
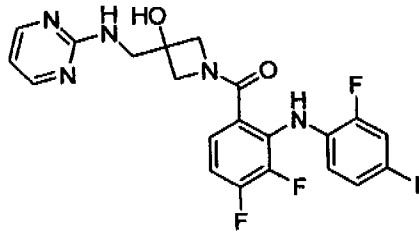
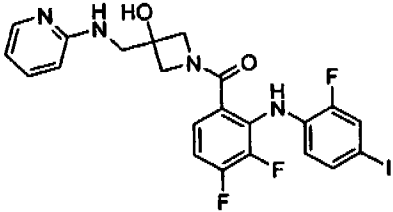
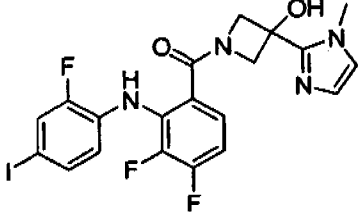
Cmpd No.	Structure	Name
279		3-(1 <i>H</i> -benzimidazol-2-yl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
280		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[1-(dimethylamino)ethyl]azetidin-3-ol
281		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(pyrimidin-2-ylamino)methyl]azetidin-3-ol
282		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(pyridin-2-ylamino)methyl]azetidin-3-ol
283		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(1-methyl-1 <i>H</i> -imidazol-2-yl)azetidin-3-ol

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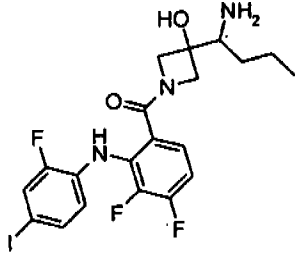
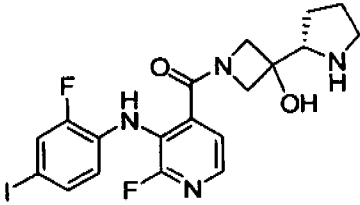
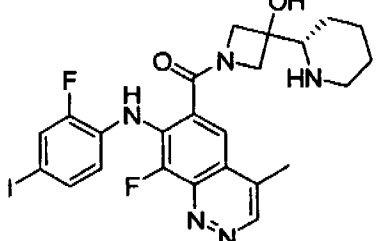
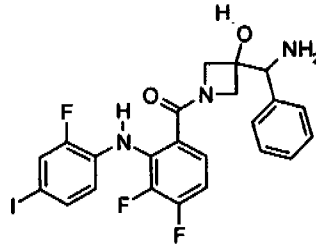
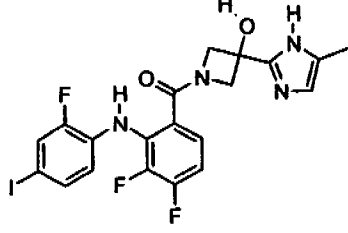
Cmpd No.	Structure	Name
284		3-(1-aminobutyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
285		1-({2-fluoro-3-[(2-fluoro-4-iodophenyl)amino]pyridin-4-yl}carbonyl)-3-[(2S)-pyrrolidin-2-yl]azetidin-3-ol
286		1-({8-fluoro-7-[(2-fluoro-4-iodophenyl)amino]-4-methylcinnolin-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
287		3-[amino(phenyl)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
288		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(5-methyl-1H-imidazol-2-yl)azetidin-3-ol

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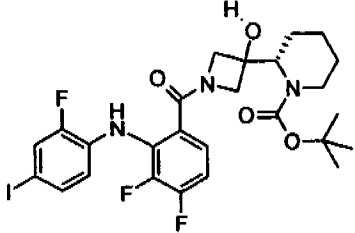
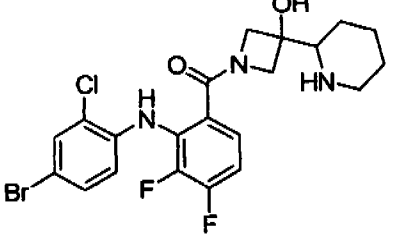
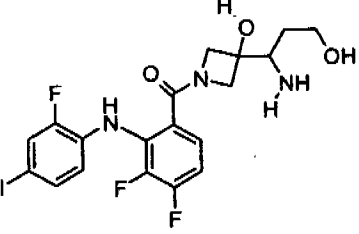
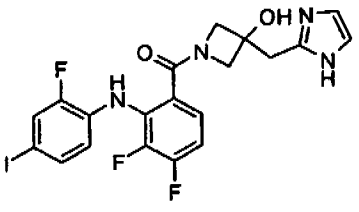
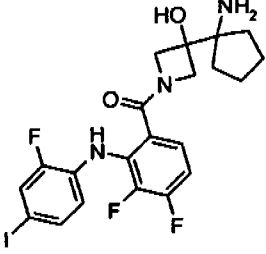
Cmpd No.	Structure	Name
289		1,1-dimethylethyl (2S)-2-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-hydroxyazetidin-3-yl]piperidine-1-carboxylate
290		1-({2-[(4-bromo-2-chlorophenyl)amino]-3,4-difluorophenyl} carbonyl)-3-piperidin-2-ylazetidin-3-ol
291		3-(1-amino-3-hydroxypropyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)azetidin-3-ol
292		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-(1H-imidazol-2-ylmethyl)azetidin-3-ol
293		3-(1-aminocyclopentyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)azetidin-3-ol

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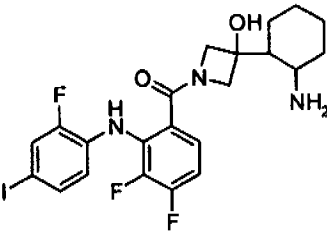
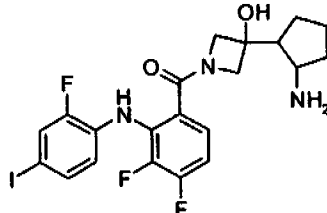
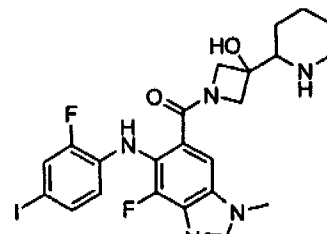
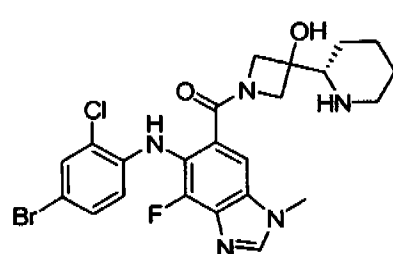
Cmpd No.	Structure	Name
294		3-(2-aminocyclohexyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)azetidin-3-ol
295		3-(2-aminocyclopentyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)azetidin-3-ol
296		1-({4-fluoro-5-[(2-fluoro-4-iodophenyl)amino]-1-methyl-1H-benzimidazol-6-yl} carbonyl)-3-piperidin-2-ylazetidin-3-ol
297		1-({5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-1-methyl-1H-benzimidazol-6-yl} carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

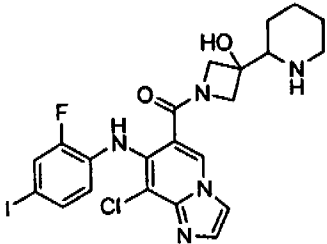
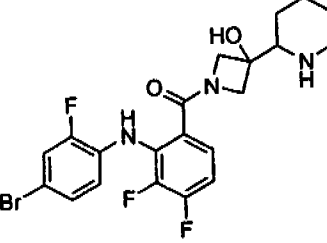
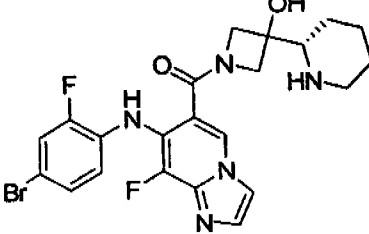
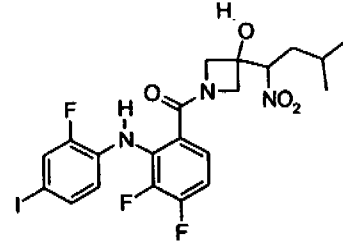
Cmpd No.	Structure	Name
298		1-({8-chloro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)-3-piperidin-2-ylazetidin-3-ol
299		1-({2-[(4-bromo-2-fluorophenyl)amino]-3,4-difluorophenyl}carbonyl)-3-piperidin-2-ylazetidin-3-ol
300		1-({7-[(4-bromo-2-fluorophenyl)amino]-8-fluoroimidazo[1,2-a]pyridin-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
301		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(3-methyl-1-nitrobutyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

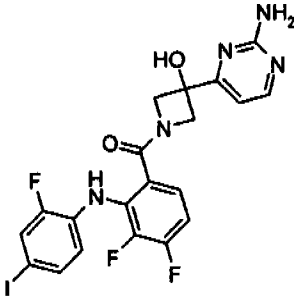
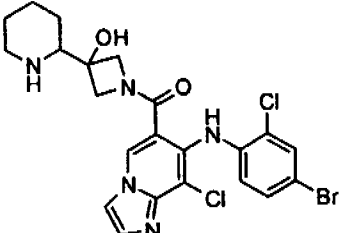
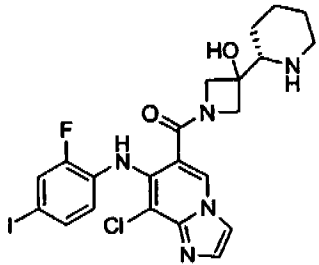
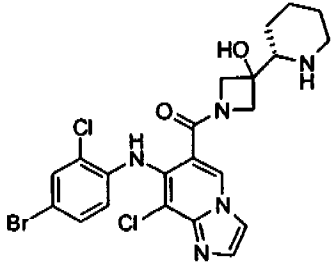
Cmpd No.	Structure	Name
302		3-(2-aminopyrimidin-4-yl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
303		1-({7-[(4-bromo-2-chlorophenyl)amino]-8-chloroimidazo[1,2-a]pyridin-6-yl}carbonyl)-3-piperidin-2-ylazetidin-3-ol
304		1-({8-chloro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
305		1-({7-[(4-bromo-2-chlorophenyl)amino]-8-chloroimidazo[1,2-a]pyridin-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
306		1-((4-fluoro-5-[(2-fluoro-4-iodophenyl)amino]-1-methyl-1H-benzimidazol-6-yl)carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
307		3-[(1S)-1-aminoethyl]-1-({5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-1-methyl-1H-benzimidazol-6-yl}carbonyl)azetidin-3-ol
308		1-({5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-1-methyl-1H-benzimidazol-6-yl}carbonyl)-3-[(1S)-1-(methylamino)ethyl]azetidin-3-ol
309		4-[(4-bromo-2-fluorophenyl)amino]-3-fluoro-5-({3-hydroxy-3-[(2S)-piperidin-2-yl]azetidin-1-yl}carbonyl)pyridin-2(1H)-one
310		4-[(2-fluoro-4-iodophenyl)amino]-5-({3-hydroxy-3-[(2S)-piperidin-2-yl]azetidin-1-yl}carbonyl)-1-methylpyridin-2(1H)-one

Table 1. Representative MEK Inhibitors

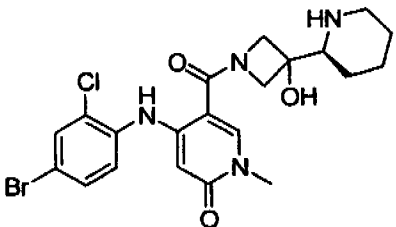
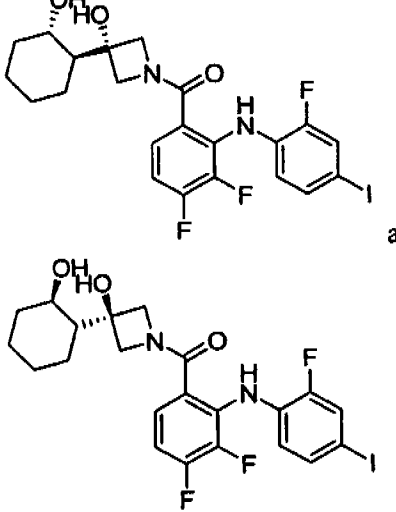
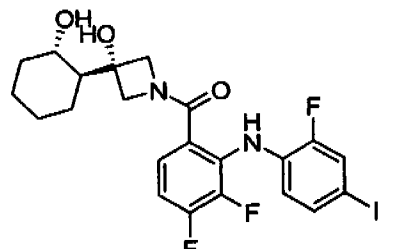
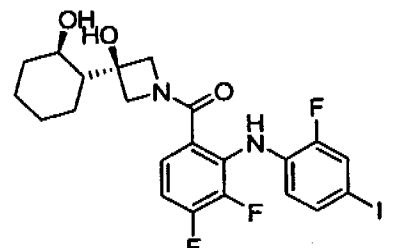
Cmpd No.	Structure	Name
311		4-[(2-fluoro-4-iodophenyl)amino]-5-[(3-hydroxy-3-[(2 <i>S</i>)-piperidin-2-yl]azetidin-1-yl)carbonyl]-1-methylpyridin-2(1 <i>H</i>)-one
312		(±)-1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-[(<i>trans</i>)-2-hydroxycyclohexyl]azetidin-3-ol
313		(3,4-difluoro-2-(2-fluoro-4-iodophenylamino)phenyl)(3-hydroxy-3-[(1 <i>S</i> ,2 <i>S</i>)-2-hydroxycyclohexyl]azetidin-1-yl)methanone
314		(3,4-difluoro-2-(2-fluoro-4-iodophenylamino)phenyl)(3-hydroxy-3-[(1 <i>S</i> ,2 <i>R</i>)-2-hydroxycyclohexyl]azetidin-1-yl)methanone

Table 1. Representative MEK Inhibitors

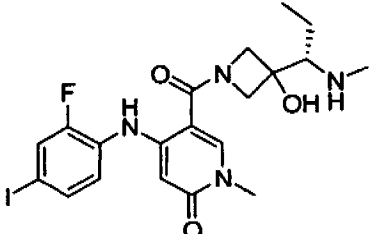
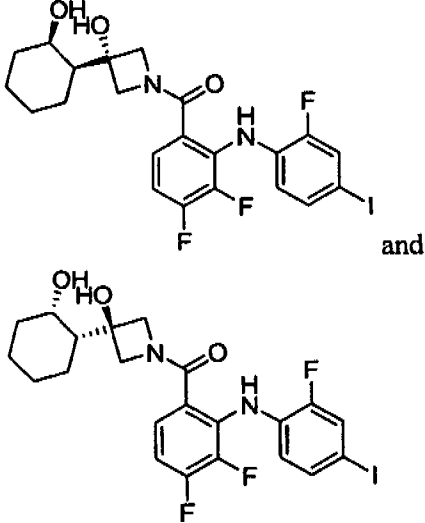
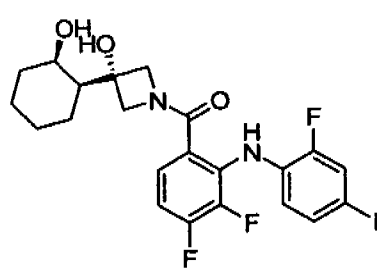
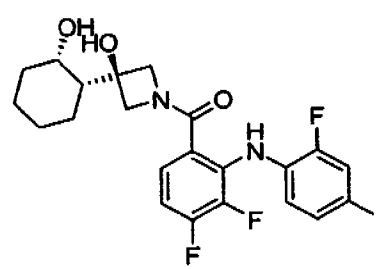
Cmpd No.	Structure	Name
315		4-[(2-fluoro-4-iodophenyl)amino]-5-({3-hydroxy-3-[(1S)-1-(methylamino)propyl]azetidin-1-yl}carbonyl)-1-methylpyridin-2(1H)-one
316		(±)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(<i>cis</i>)-2-hydroxycyclohexyl]azetidin-3-ol
317		(3,4-difluoro-2-(2-fluoro-4-iodophenylamino)phenyl)(3-hydroxy-3-((1S,2R)-2-hydroxycyclohexyl)azetidin-1-yl)methanone
318		(3,4-difluoro-2-(2-fluoro-4-iodophenylamino)phenyl)(3-hydroxy-3-((1R,2S)-2-hydroxycyclohexyl)azetidin-1-yl)methanone

Table 1. Representative MEK Inhibitors

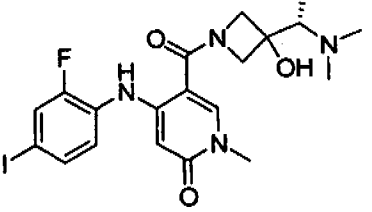
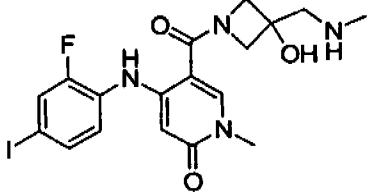
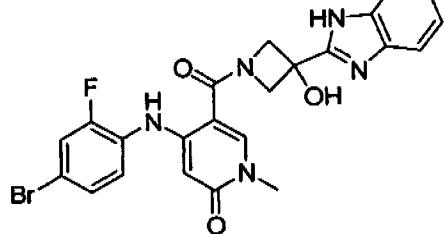
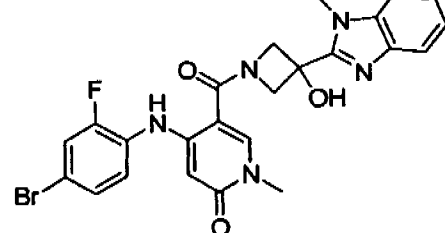
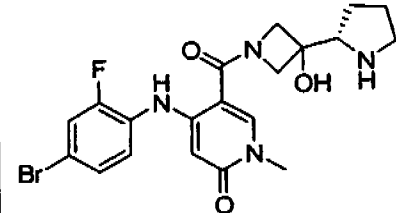
Cmpd No.	Structure	Name
319		5-({3-[(1 <i>S</i>)-1-(dimethylamino)ethyl]-3-hydroxyazetidin-1-yl}carbonyl)-4-[(2-fluoro-4-iodophenyl)amino]-1-methylpyridin-2(1 <i>H</i>)-one
320		4-[(2-fluoro-4-iodophenyl)amino]-5-({3-hydroxy-3-[(methylamino)methyl]azetidin-1-yl}carbonyl)-1-methylpyridin-2(1 <i>H</i>)-one
321		5-({[3-(1 <i>H</i> -benzimidazol-2-yl)-3-hydroxyazetidin-1-yl]carbonyl}-4-[(4-bromo-2-fluorophenyl)amino]-1-methylpyridin-2(1 <i>H</i>)-one
322		4-[(4-bromo-2-fluorophenyl)amino]-5-({[3-hydroxy-3-(1-methyl-1 <i>H</i> -benzimidazol-2-yl)azetidin-1-yl]carbonyl}-1-methylpyridin-2(1 <i>H</i>)-one
323		4-[(4-bromo-2-fluorophenyl)amino]-5-({3-hydroxy-3-[(2 <i>S</i>)-pyrrolidin-2-yl]azetidin-1-yl}carbonyl)-1-methylpyridin-2(1 <i>H</i>)-one

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
324		1-({3-fluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-[(2 <i>S</i>)-piperidin-2-yl]azetidin-3-ol
325		1-({4-fluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-[(2 <i>S</i>)-piperidin-2-yl]azetidin-3-ol
326		1-({6-[(4-bromo-2-chlorophenyl)amino]-7-fluoro-3-methyl-1,2-benzisoxazol-5-yl} carbonyl)-3-[(2 <i>S</i>)-piperidin-2-yl]azetidin-3-ol
327		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-(6-methylpiperidin-2-yl)azetidin-3-ol
328		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl} carbonyl)-3-piperazin-2-ylazetidin-3-ol

Table 1. Representative MEK Inhibitors

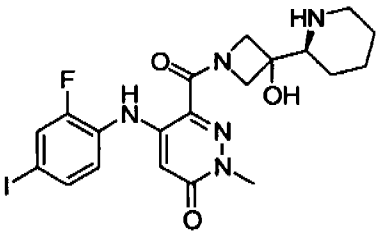
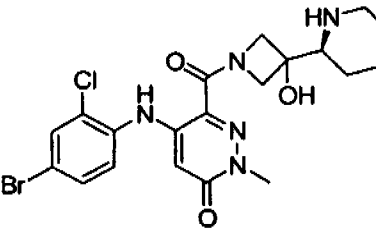
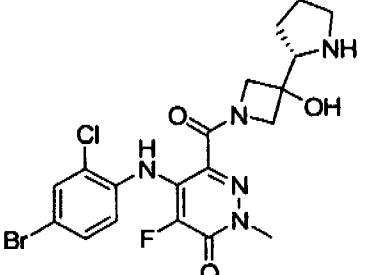
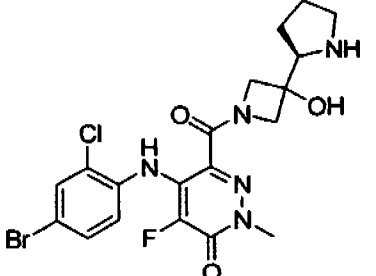
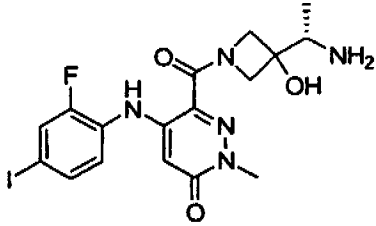
Cmpd No.	Structure	Name
329		5-[(2-fluoro-4-iodophenyl)amino]-6-({3-hydroxy-3-[(2S)-piperidin-2-yl]azetidin-1-yl}carbonyl)-2-methylpyridazin-3(2H)-one
330		5-[(4-bromo-2-chlorophenyl)amino]-6-({3-hydroxy-3-[(2S)-piperidin-2-yl]azetidin-1-yl}carbonyl)-2-methylpyridazin-3(2H)-one
331		5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-6-({3-hydroxy-3-[(2S)-pyrrolidin-2-yl]azetidin-1-yl}carbonyl)-2-methylpyridazin-3(2H)-one
332		5-[(4-bromo-2-chlorophenyl)amino]-4-fluoro-6-({3-hydroxy-3-[(2R)-pyrrolidin-2-yl]azetidin-1-yl}carbonyl)-2-methylpyridazin-3(2H)-one
333		6-({3-[(1S)-1-aminoethyl]-3-hydroxyazetidin-1-yl}carbonyl)-5-[(2-fluoro-4-iodophenyl)amino]-2-methylpyridazin-3(2H)-one

Table 1. Representative MEK Inhibitors

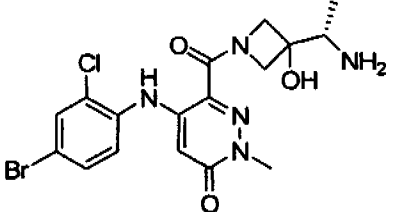
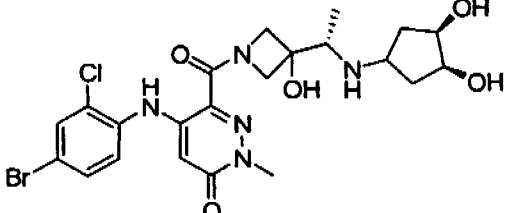
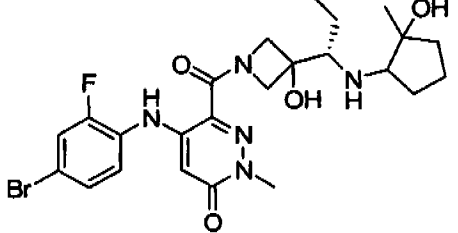
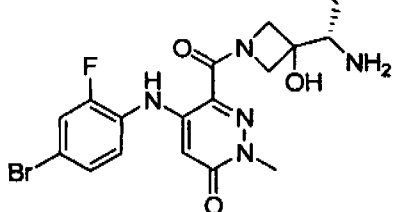
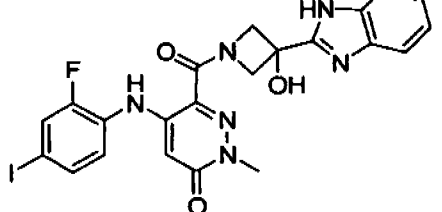
Cmpd No.	Structure	Name
334		6-({3-[(1 <i>S</i>)-1-aminoethyl]-3-hydroxyazetidin-1-yl}carbonyl)-5-[(4-bromo-2-chlorophenyl)amino]-2-methylpyridazin-3(2 <i>H</i>)-one
335		5-[(4-bromo-2-chlorophenyl)amino]-6-{{3-[(1 <i>S</i>)-1-[(3 <i>R</i> ,4 <i>S</i>)-3,4-dihydroxycyclopentyl]amino]ethyl}-3-hydroxyazetidin-1-yl}carbonyl}-2-methylpyridazin-3(2 <i>H</i>)-one
336		5-[(4-bromo-2-fluorophenyl)amino]-6-[(3-hydroxy-3-[(1 <i>S</i>)-1-[(2-hydroxy-2-methylcyclopentyl)amino]propyl]azetidin-1-yl)carbonyl]-2-methylpyridazin-3(2 <i>H</i>)-one
337		6-({3-[(1 <i>S</i>)-1-aminopropyl]-3-hydroxyazetidin-1-yl}carbonyl)-5-[(4-bromo-2-fluorophenyl)amino]-2-methylpyridazin-3(2 <i>H</i>)-one
338		6-[[3-(1 <i>H</i> -benzimidazol-2-yl)-3-hydroxyazetidin-1-yl]carbonyl]-5-[(2-fluoro-4-iodophenyl)amino]-2-methylpyridazin-3(2 <i>H</i>)-one

Table 1. Representative MEK Inhibitors

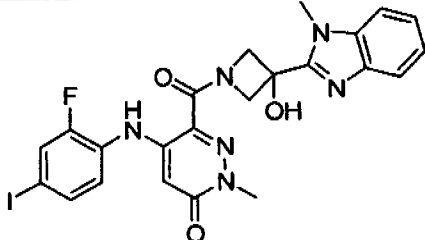
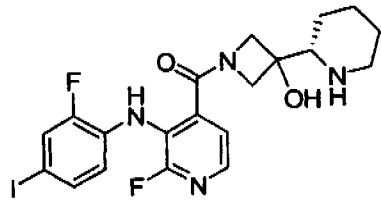
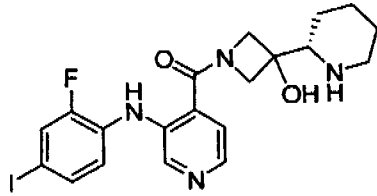
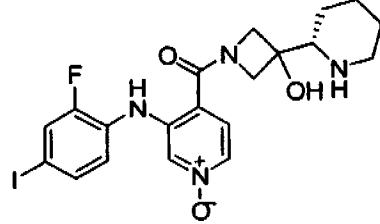
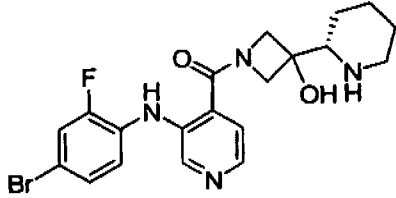
Cmpd No.	Structure	Name
339		5-[(2-fluoro-4-iodophenyl)amino]-6-[[3-hydroxy-3-(1-methyl-1H-benzimidazol-2-yl)azetidin-1-yl]carbonyl]-2-methylpyridazin-3(2H)-one
340		1-({2-fluoro-3-[(2-fluoro-4-iodophenyl)amino]pyridin-4-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
341		1-({3-[(2-fluoro-4-iodophenyl)amino]pyridin-4-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
342		1-({3-[(2-fluoro-4-iodophenyl)amino]-1-oxidopyridin-4-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
343		1-({2-fluoro-3-[(2-fluoro-4-bromophenyl)amino]pyridin-4-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
344		3-[(1 <i>S</i>)-1-aminopropyl]-1-({3-[(2-fluoro-4-iodophenyl)amino]pyridin-4-yl} carbonyl)azetidin-3-ol
345		1-({3-[(2-fluoro-4-iodophenyl)amino]pyridin-4-yl} carbonyl)-3-[(1 <i>S</i>)-1-(methylamino)propyl]azetidin-3-ol
346		(1 <i>R</i> ,2 <i>S</i>)-4-({(1 <i>S</i>)-1-[1-({2-fluoro-3-[(2-fluoro-4-iodophenyl)amino]pyridin-4-yl} carbonyl)-3-hydroxyazetidin-3-yl]propyl} amino)cyclopentane-1,2-diol
347		1-({7-[(4-bromo-2-chlorophenyl)amino]-8-fluoro-4-methylcinnolin-6-yl} carbonyl)-3-[(2 <i>S</i>)-piperidin-2-yl]azetidin-3-ol
348		1-({7-[(4-bromo-2-fluorophenyl)amino]-8-fluoro-4-methylcinnolin-6-yl} carbonyl)-3-[(2 <i>S</i>)-piperidin-2-yl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
349		3-[(1S)-1-aminoethyl]-1-({7-[(4-bromo-2-fluorophenyl)amino]cinnolin-6-yl}carbonyl)azetidin-3-ol
350		1-({7-[(4-bromo-2-fluorophenyl)amino]cinnolin-6-yl}carbonyl)-3-[(1S)-1-[(2-hydroxy-2-methylcyclopentyl)amino]ethyl]azetidin-3-ol
351		1-({7-[(4-bromo-2-fluorophenyl)amino]cinnolin-6-yl}carbonyl)-3-[(1S)-1-(dimethylamino)ethyl]azetidin-3-ol
352		3-[(1S)-1-aminoethyl]-1-({5-[(2-fluoro-4-iodophenyl)amino]-1H-1,2,3-benzotriazol-6-yl}carbonyl)azetidin-3-ol
353		3-[(1S)-1-(dimethylamino)ethyl]-1-({5-[(2-fluoro-4-iodophenyl)amino]-1-methyl-1H-1,2,3-benzotriazol-6-yl}carbonyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

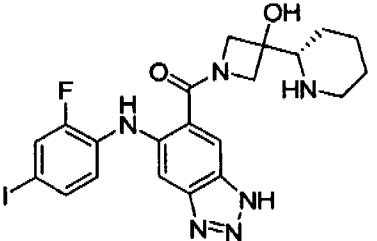
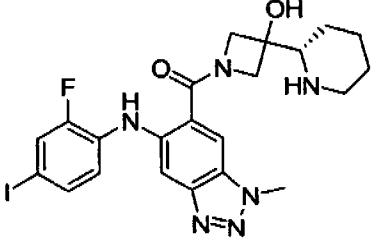
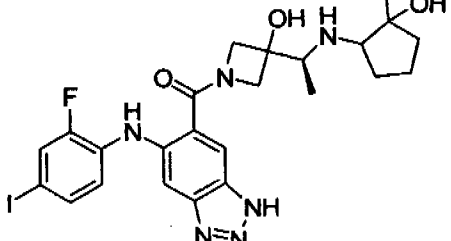
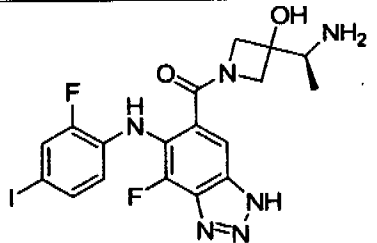
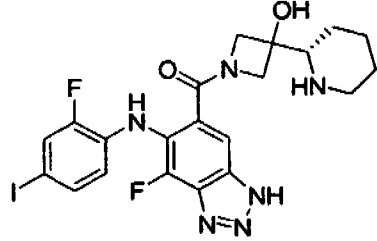
Cmpd No.	Structure	Name
354		1-({5-[(2-fluoro-4-iodophenyl)amino]-1H-1,2,3-benzotriazol-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
355		1-({5-[(2-fluoro-4-iodophenyl)amino]-1-methyl-1H-1,2,3-benzotriazol-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
356		1-({5-[(2-fluoro-4-iodophenyl)amino]-1H-1,2,3-benzotriazol-6-yl}carbonyl)-3-[(1S)-1-[(2-hydroxy-2-methylcyclopentyl)amino]ethyl]azetidin-3-ol
357		3-[(1S)-1-aminoethyl]-1-({4-fluoro-5-[(2-fluoro-4-iodophenyl)amino]-1H-1,2,3-benzotriazol-6-yl}carbonyl)azetidin-3-ol
358		1-({4-fluoro-5-[(2-fluoro-4-iodophenyl)amino]-1H-1,2,3-benzotriazol-6-yl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
359		5-({3-[(1S)-1-aminoethyl]-3-hydroxyazetidin-1-yl}carbonyl)-6-[(2-fluoro-4-iodophenyl)amino]pyrimidin-2(1H)-one
360		6-[(2-fluoro-4-iodophenyl)amino]-5-({3-hydroxy-3-[(2S)-piperidin-2-yl]azetidin-1-yl}carbonyl)pyrimidin-2(1H)-one
361		4-[(2-fluoro-4-iodophenyl)amino]-5-({3-hydroxy-3-[(2S)-piperidin-2-yl]azetidin-1-yl}carbonyl)pyrimidin-2(1H)-one
362		5-({3-[(1S)-1-aminoethyl]-3-hydroxyazetidin-1-yl}carbonyl)-4-[(2-fluoro-4-iodophenyl)amino]pyrimidin-2(1H)-one

OTHER REPRESENTATIVE COMPOUNDS

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
1	3-(azetidin-3-ylidenemethyl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
2	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-fluoropyridin-4-yl)-1H-pyrazolo[3,4-d]pyrimidine
3	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-chloropyridin-4-yl)-1H-pyrazolo[3,4-d]pyrimidine
4	2-({5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)]piperazin-1-yl}-2-methylphenyl)oxy)-N,N-dimethylethanamine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
5	2-((5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl)oxy)-N,N-diethylethanamine
6	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
7	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-piperazin-1-yl-1H-pyrazolo[3,4-d]pyrimidine
8	N-(3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-yn-1-yl)acetamide
9	N,N-diethyl-2-((3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl)oxy)ethanamine
10	3-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}-N,N-diethylpropan-1-amine
11	3-bromo-4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
12	3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
13	2-((3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl)oxy)-N,N-diethylethanamine
14	4-[4-(5-chloro-2-methyl-3-{[2-(1-methylpiperidin-4-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
15	5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
16	4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
17	4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
18	3-bromo-4-{4-[5-chloro-2-methyl-3-(3-morpholin-4-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
19	3-bromo-4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
20	3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
21	3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
22	4-{4-[5-chloro-2-methyl-3-(3-morpholin-4-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
23	N'-(5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl)-N,N-diethylethane-1,2-diamine
24	4-{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
25	4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
26	4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
27	3-bromo-4-{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
28	N'-(3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl)-N,N-diethylethane-1,2-diamine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
29	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
30	4-[4-(5-chloro-2-methyl-3-[[2-(4-methylpiperazin-1-yl)ethyl]oxy]phenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
31	4-[4-(5-chloro-2-methyl-3-[(1-methylpiperidin-4-yl)methyl]oxy]phenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
32	N,N-diethyl-2-({3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)ethanamine
33	2-[(5-chloro-3-{4-[1-(1,1-dimethylethyl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-2-methylphenyl)oxy]-N,N-diethylethanamine
34	2-[(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)oxy]-N,N-diethylethanamine
35	4-(4-{5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl)piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
36	4-[4-(5-chloro-2-methyl-3-[[3-(4-methylpiperazin-1-yl)propyl]oxy]phenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
37	3-bromo-4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl)piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
38	3-bromo-4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl)piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
39	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl)piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
40	4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl)piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
41	4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl)piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
42	4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl)piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
43	4-[4-(5-chloro-3-[[3-(4-ethylpiperazin-1-yl)propyl]oxy]-2-methylphenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
44	5-chloro-2-methyl-3-[4-(1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-(2-pyrrolidin-1-ylethyl)aniline
45	5-chloro-2-methyl-3-[4-(3-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-(2-pyrrolidin-1-ylethyl)aniline
46	N'-(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)-N,N-dimethylethane-1,2-diamine
47	3-({5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)-N,N-diethylpropan-1-amine
48	N'-(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)-N,N-diethylethane-1,2-diamine
49	5-chloro-2-methyl-N-(2-pyrrolidin-1-ylethyl)-3-{4-[3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}aniline
50	3-bromo-4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl)piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
51	4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl)piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
52	3-methyl-4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl)piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
53	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
54	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-methyl-1H-pyrazolo[3,4-d]pyrimidine
55	4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
56	3-[(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)oxy]-N,N-diethylpropan-1-amine
57	5-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
58	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
59	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
60	3-bromo-4-{4-[5-fluoro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
61	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
62	4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
63	3-bromo-4-(4-pyridin-2-ylpiperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
64	3-bromo-4-[4-(2,4-dimethylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
65	3-bromo-4-{4-[3-(methyloxy)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
66	3-bromo-4-{4-[2-(methyloxy)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
67	3-bromo-4-{4-[4-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
68	4-(4-{5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
69	4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
70	4-[4-(5-chloro-2-methyl-3-{[3-(4-methylpiperazin-1-yl)propyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
71	4-[4-(5-chloro-3-{[3-(4-ethylpiperazin-1-yl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
72	3-bromo-4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
73	4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
74	3-bromo-4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
75	3-bromo-4-[4-(3,4-dichlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
76	3-bromo-4-[4-(3,4-difluorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
77	3-bromo-4-[4-(2,4-dichlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
78	3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
79	5-fluoro-2-methyl-N-(2-pyrrolidin-1-ylethyl)-3-{4-[3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}aniline
80	4-{4-[3,5-bis(methyloxy)phenyl]piperazin-1-yl}-3-bromo-1H-pyrazolo[3,4-d]pyrimidine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
81	4-[4-(5-chloro-3-{{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl}piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
82	N-{{5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-N,N',N'-trimethylethane-1,2-diamine
83	3-{{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}oxy)-N,N-diethylpropan-1-amine
84	3-bromo-4-(4-{{5-chloro-2-methyl-3-{{[3-(pyrrolidin-1-yl)propyl]oxy}phenyl}piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
85	3-bromo-4-[4-(5-chloro-2-methyl-3-{{[3-(4-methylpiperazin-1-yl)propyl]oxy}phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
86	3-bromo-4-[4-(5-chloro-3-{{[3-(4-ethylpiperazin-1-yl)propyl]oxy}-2-methylphenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
87	3-(5-chloro-2-methyl-3-{{4-[3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}phenyl)-N,N-diethylpropan-1-amine
88	3-bromo-4-[4-(5-chloro-2-methyl-3-{{[(1-methylpiperidin-4-yl)methyl]oxy}phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
89	3-bromo-4-[4-(5-chloro-2-methyl-3-{{[2-(1-methylpiperidin-4-yl)ethyl]oxy}phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
90	4-[4-(5-chloro-2-methyl-3-{{[(1-methylpiperidin-4-yl)methyl]oxy}phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
91	4-[4-(5-chloro-2-methyl-3-{{[2-(1-methylpiperidin-4-yl)ethyl]oxy}phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
92	4-(4-{{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
93	3-bromo-4-[4-(3-chloro-4-fluorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
94	1-[4-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl]ethanone
95	3-bromo-4-[4-(2,5-dichlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
96	3-bromo-4-[4-(3,4-dimethylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
97	3-bromo-4-[4-(4-nitrophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
98	3-ethyl-4-(4-phenylpiperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
99	3-ethyl-4-[4-[3-(methyloxy)phenyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
100	4-{{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
101	4-[4-(3,6-dimethylpyrazin-2-yl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
102	1-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]isoquinoline
103	3-bromo-4-[4-(2,6-dimethylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
104	3-bromo-4-[4-[4-(ethyloxy)phenyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
105	3-bromo-4-[4-(2-ethylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
106	4-{{4-[2,4-bis(methyloxy)phenyl]piperazin-1-yl}-3-bromo-1H-pyrazolo[3,4-d]pyrimidine
107	3-bromo-4-(4-pyrazin-2-ylpiperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
108	3-bromo-4-(4-pyrimidin-2-ylpiperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
109	4-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluoromethyl)quinoline
110	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrazine-2-carbonitrile
111	4-[4-(4,6-dimethylpyrimidin-2-yl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
112	ethyl 4-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluoromethyl)pyrimidine-5-carboxylate

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
113	4-{4-[3-chloro-5-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
114	4-[4-(3-bromo-2-chloro-5-fluorophenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
115	2-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyridine-3-carboxamide
116	3-ethyl-4-{4-[4-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
117	3-bromo-4-{4-[4-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
118	3-bromo-4-{4-[4-(trifluoromethyl)pyrimidin-2-yl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
119	2-({3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrazin-2-yl}oxy)-N,N-dimethylethanamine
120	4-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylquinoline
121	3-bromo-4-[4-(2-nitrophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
122	2-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]benzonitrile
123	4-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]benzonitrile
124	3-bromo-4-{4-[4-(trifluoromethyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
125	3-bromo-4-{4-[4-[(phenylmethyl)oxy]phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
126	4-{4-[5-chloro-2-methyl-3-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
127	2-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyridine-3-carbonitrile
128	3-bromo-4-[4-(3,5-dichlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
129	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-chloro-5-fluoro-N-(2-pyrrolidin-1-ylethyl)aniline
130	2-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-N-(2-pyrrolidin-1-ylethyl)aniline
131	3-bromo-4-[4-(2,5-difluorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
132	4-[4-(2,5-difluorophenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
133	3-bromo-4-{4-[3-(methyloxy)pyrazin-2-yl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
134	3-bromo-4-[4-(3-chlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
135	3-bromo-4-{4-[3-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
136	3-bromo-4-{4-[3-chloro-5-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
137	4-{4-[5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl]piperazin-1-yl}-3-(1-methylethyl)-1H-pyrazolo[3,4-d]pyrimidine
138	5-chloro-2-methyl-3-{4-[3-(1-methylethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-N-(2-pyrrolidin-1-ylethyl)aniline
139	2-({3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-N-ethylacetamide
140	2-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N,N-diethylpyrimidin-4-amine
141	3-bromo-4-[4-(3-{{(3-methylphenyl)methyl}oxy}phenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
142	3-bromo-4-{4-[3-[(2-piperidin-1-ylethyl)oxy]phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
143	3-bromo-4-[4-(4-furan-2-ylpyrimidin-2-yl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
144	6-{2-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrimidin-4-yl}-2H-1,4-benzoxazin-3(4H)-one
145	3-ethyl-4-{4-[2-methyl-3-(methyloxy)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
146	N'-(5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl)-N-methyl-N-(1-methylethyl)ethane-1,2-diamine
147	N'-(5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl)-N-ethyl-N-methylethane-1,2-diamine
148	N'-(3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl)-N,N-dimethylethane-1,2-diamine
149	3-({6-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-chloro-5-methylpyrimidin-4-yl}oxy)-N,N-diethylpropan-1-amine
150	3-bromo-4-[4-(2,3-dichlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
151	3-bromo-4-{4-[2-(trifluoromethyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
152	3-bromo-4-(4-phenylpiperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
153	3-bromo-4-[4-(4-fluorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
154	3-bromo-4-[4-(4-chlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
155	3-bromo-4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
156	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-6-amine
157	3-bromo-4-[4-(4-bromophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
158	3-bromo-4-[3-methyl-4-(3-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
159	4-[4-(3-bromo-5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-6-amine
160	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-cyclopropyl-1H-pyrazolo[3,4-d]pyrimidine
161	5-chloro-3-[4-(3-cyclopropyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
162	5-chloro-2-methyl-3-{4-[3-(2-methylpropyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-N-(2-pyrrolidin-1-ylethyl)aniline
163	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(2-methylpropyl)-1H-pyrazolo[3,4-d]pyrimidine
164	3-bromo-4-[(3S)-4-(5-chloro-2-methylphenyl)-3-methylpiperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
165	5-bromo-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylaniline
166	2-({3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-N-cyclopropylacetamide
167	3-bromo-4-(4-{3-[(2-piperidin-1-ylethyl)oxy]pyrazin-2-yl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
168	4-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-6,7-bis(methyloxy)quinazoline
169	2-({3-chloro-5-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-N,N-diethylethanamine
170	4-{4-[2-chloro-5-(trifluoromethyl)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
171	3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]-N-(2-pyrrolidin-1-ylethyl)aniline
172	3-({4-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-6-chloro-5-methylpyrimidin-2-yl}oxy)-N,N-diethylpropan-1-amine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
173	3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(phenylmethyl)oxy]-N-(2-pyrrolidin-1-ylethyl)aniline
174	3-bromo-4-[(3R)-4-(5-chloro-2-methylphenyl)-3-methylpiperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
175	3-[(2S)-4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-2-methylpiperazin-1-yl]-4-methyl-N-phenylbenzamide
176	3-[(2S)-4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-2-methylpiperazin-1-yl]-4-methyl-N-(phenylmethyl)benzamide
177	methyl 3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoate
178	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoic acid
179	(2E)-3-(4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidin-3-yl)prop-2-enoic acid
180	3-(4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidin-3-yl)prop-2-yn-1-ol
181	4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-1-(5-chloro-2-methylphenyl)piperazin-2-one
182	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]-N-(2-pyrrolidin-1-ylethyl)aniline
183	N'-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]phenyl}-N,N-diethylethane-1,2-diamine
184	methyl 3-bromo-5-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoate
185	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-phenylbenzamide
186	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N,N,4-dimethylbenzamide
187	2-({3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)-N,N-diethylethanamine
188	methyl 3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzoate
189	3-bromo-5-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-phenylbenzamide
190	3-bromo-5-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-phenylbenzamide
191	N'-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}-N-methyl-N-(1-methylethyl)ethane-1,2-diamine
192	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-phenyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
193	N'-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]phenyl}-N,N-dimethylethane-1,2-diamine
194	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N,N,4-trimethylbenzamide
195	3-[4-(3-chloro-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-(2-methylpropyl)benzamide
196	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N,N,4-trimethyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
197	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-2-oxopiperazin-1-yl]-4-methyl-N-phenylbenzamide
198	3-[(2R)-4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-2-(hydroxymethyl)piperazin-1-yl]-4-methyl-N-phenylbenzamide
199	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(pyrrolidin-1-ylcarbonyl)-N-(2-pyrrolidin-1-ylethyl)aniline

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
200	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N,4-dimethyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
201	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-(4-chlorophenyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
202	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-(2-chlorophenyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
203	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclopropylmethyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
204	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(3-methylbutyl)oxy]-N-(2-pyrrolidin-1-ylethyl)aniline
205	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-ethylbutyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
206	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(butyloxy)-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
207	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-(1-methylethyl)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
208	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N,4-dimethyl-N-(1-methylethyl)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
209	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclobutylmethyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
210	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(ethyloxy)-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
211	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-[2-(dimethylamino)ethyl]-4-methylbenzamide
212	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-(1,1-dimethylethyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
213	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-pyridin-3-yl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
214	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluoro-2-methylpropyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
215	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclohexylmethyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
216	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclopentylmethyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
217	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-ethyl-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
218	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(1-methylethyl)oxy]-N-(2-pyrrolidin-1-ylethyl)aniline
219	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2,2-dimethylpropyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
220	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)-5-[(tetrahydrofuran-2-ylmethyl)oxy]aniline
221	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-(methyloxy)ethyl)oxy]-N-(2-pyrrolidin-1-ylethyl)aniline
222	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(propyloxy)-N-(2-pyrrolidin-1-ylethyl)aniline
223	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-(dimethylamino)ethyl)amino]-4-methyl-N-phenylbenzamide

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
224	N'-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluoro-2-methylpropyl)oxy]-2-methylphenyl}-N,N-dimethylethane-1,2-diamine
225	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2-(dimethylamino)ethyl]amino}-4-methyl-N-(1-methylethyl)benzamide
226	1-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}pentan-1-one
227	N'-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methylphenyl}-N,N-dimethylethane-1,2-diamine
228	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
229	5-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-N-(2-pyrrolidin-1-ylethyl)biphenyl-3-amine
230	1-(3-{5-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methylbiphenyl-3-yl}propyl)pyridinium
231	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)-5-(1,3-thiazol-2-yl)aniline
232	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzoic acid
233	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(phenylethynyl)-N-(2-pyrrolidin-1-ylethyl)aniline
234	{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}(phenyl)methanone
235	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-ethynyl-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
236	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimethylbut-1-yn-1-yl)-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
237	3-bromo-4-{4-[5-{[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
238	3-bromo-4-{4-[2-methyl-5-[(2-methylpropyl)oxy]-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
239	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(3-phenyl-1,2,4-oxadiazol-5-yl)-N-(2-pyrrolidin-1-ylethyl)aniline
240	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(3-methyl-1,2,4-oxadiazol-5-yl)-N-(2-pyrrolidin-1-ylethyl)aniline
241	1-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}propan-1-one
242	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimethylbutyl)-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
243	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-ethyl-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
244	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)-5-[2-(trimethylsilyl)ethyl]aniline
245	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(2-phenylethyl)-N-(2-pyrrolidin-1-ylethyl)aniline
246	1-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-one
247	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N,4-dimethyl-N-(methoxy)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
248	3-bromo-4-[4-(3-bromo-5-{{2,3-difluoro-2-(fluoromethyl)propyl}oxy}-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
249	4-[4-(3-bromo-5-{{2,3-difluoro-2-(fluoromethyl)propyl}oxy}-2-methylphenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
250	1-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}ethanone
251	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(difluoromethyl)oxy]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
252	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[[difluoromethyl]oxy]methyl-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
253	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(methoxy)-N-(2-pyrrolidin-1-ylethyl)aniline
254	5-{{2,3-difluoro-2-(fluoromethyl)propyl}oxy}-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
255	2-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-3,5,6-trifluoro-N-(3-methylbutyl)pyridin-4-amine
256	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-[(cyclopropylmethyl)oxy]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
257	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(5-methyl-1,2,4-oxadiazol-3-yl)-N-(2-pyrrolidin-1-ylethyl)aniline
258	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(ethylsulfonyl)-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
259	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(methylsulfonyl)-N-(2-pyrrolidin-1-ylethyl)aniline
260	1-{3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}pentan-1-one
261	3-bromo-4-[4-(5-{{2,3-difluoro-2-(fluoromethyl)propyl}oxy}-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
262	6-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-3,5-difluoro-N-4-{{3-methylbutyl}-N-2-{{2-pyrrolidin-1-ylethyl}pyridine-2,4-diamine
263	3-bromo-5-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-N-(2-pyrrolidin-1-ylethyl)aniline
264	3-bromo-4-[4-(3',4',6-trifluoro-4-methylbiphenyl-3-yl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
265	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-N-(2-pyrrolidin-1-ylethyl)aniline
266	{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}methanol
267	3-bromo-4-[4-{4-methyl-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
268	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{{2,2-difluorocyclopropyl}methyl}oxy-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
269	5-bromo-3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
270	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(ethoxy)methyl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
271	3-[4-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-1-methyl-6-(trifluoromethyl)-1H-benzimidazol-2-yl]propan-1-ol

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
272	1-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}-4,4,4-trifluorobutan-1-one
273	{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}(cyclopropyl)methanone
274	3-({3'-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4'-methylbiphenyl-2-yl}oxy)-N,N-dimethylpropan-1-amine
275	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(1,1-difluorobutyl)-2-methyl-N-(2-pyrrolidin-1-ylethyl)aniline
276	3-bromo-4-(4-{4-methyl-2'-[(3-morpholin-4-ylpropyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
277	3-bromo-4-(4-{4-methyl-2'-[(2-morpholin-4-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
278	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)-5-[[2,2,2-trifluoroethyl]oxy]methyl]aniline
279	1-[2-({3'-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4'-methylbiphenyl-2-yl}oxy)ethyl]pyrrolidine-2,5-dione
280	3-bromo-4-(4-{3'-fluoro-4-methyl-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
281	1-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-one
282	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)-5-[(3,3,3-trifluoropropyl)oxy]aniline
283	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)-5-[(2,2,2-trifluoroethyl)oxy]aniline
284	1-{3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-ol
285	3-bromo-4-(4-{4-chloro-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
286	3-[4-(4-{5-[(2,3-difluoro-2-(fluoromethyl)propyl)oxy]-2-methyl-3-[(2-pyrrolidin-1-ylethyl)amino]phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]prop-2-yn-1-ol
287	3-bromo-4-(4-{4-chloro-4'-fluoro-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
288	3-bromo-4-(4-{4-methyl-3'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
289	(2E)-3-[4-(4-{5-[(2,3-difluoro-2-(fluoromethyl)propyl)oxy]-2-methyl-3-[(2-pyrrolidin-1-ylethyl)amino]phenyl}piperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]prop-2-enoic acid
290	3-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-N-(2-pyrrolidin-1-ylethyl)-5-[4,4,4-trifluoro-1,1-bis(methyloxy)butyl]aniline
291	6-(4-phenylpiperazin-1-yl)-9H-purine
292	6-[4-(3-chlorophenyl)piperazin-1-yl]-9H-purine
293	4-(4-phenylpiperazin-1-yl)-7H-pyrrolo[2,3-d]pyrimidine
294	4-[4-(3-chlorophenyl)piperazin-1-yl]-7H-pyrrolo[2,3-d]pyrimidine
295	4-(4-phenylpiperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
296	4-[4-(3-chlorophenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
297	6-[4-(2-chlorophenyl)piperazin-1-yl]-9H-purine
298	6-[4-(2-fluorophenyl)piperazin-1-yl]-9H-purine
299	4-[4-(2-methylphenyl)piperazin-1-yl]-7H-pyrrolo[2,3-d]pyrimidine
300	4-[4-(2-(methyloxy)phenyl)piperazin-1-yl]-7H-pyrrolo[2,3-d]pyrimidine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
301	4-{4-[3-(methyloxy)phenyl]piperazin-1-yl}-7H-pyrrolo[2,3-d]pyrimidine
302	4-{4-[4-(methyloxy)phenyl]piperazin-1-yl}-7H-pyrrolo[2,3-d]pyrimidine
303	4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-7H-pyrrolo[2,3-d]pyrimidine
304	6-{4-[4-(methyloxy)phenyl]piperazin-1-yl}-9H-purine
305	6-{4-[2-(methyloxy)phenyl]piperazin-1-yl}-9H-purine
306	6-[4-(4-chlorophenyl)piperazin-1-yl]-9H-purine
307	6-[4-(4-fluorophenyl)piperazin-1-yl]-9H-purine
308	4-[4-(4-chlorophenyl)piperazin-1-yl]-7H-pyrrolo[2,3-d]pyrimidine
309	4-[4-(2-chlorophenyl)piperazin-1-yl]-7H-pyrrolo[2,3-d]pyrimidine
310	4-[4-(4-fluorophenyl)piperazin-1-yl]-7H-pyrrolo[2,3-d]pyrimidine
311	4-[4-(2-fluorophenyl)piperazin-1-yl]-7H-pyrrolo[2,3-d]pyrimidine
312	6-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-9H-purine
313	6-[4-(2-methylphenyl)piperazin-1-yl]-9H-purine
314	4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
315	4-[4-(2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
316	4-[4-(3-chlorophenyl)piperazin-1-yl]-3-methyl-1H-pyrazolo[3,4-d]pyrimidine
317	3-methyl-4-[4-(2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
318	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
319	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-methyl-1H-pyrazolo[3,4-d]pyrimidine
320	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-methyl-6-phenyl-1H-pyrazolo[3,4-d]pyrimidine
321	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
322	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-methyl-1H-pyrazolo[3,4-d]pyrimidine
323	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-ethyl-1H-pyrazolo[3,4-d]pyrimidine
324	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-(1-methylethyl)-1H-pyrazolo[3,4-d]pyrimidine
325	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-phenyl-1H-pyrazolo[3,4-d]pyrimidine
326	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-({[2-(methyloxy)ethyl]oxy}methyl)-1H-pyrazolo[3,4-d]pyrimidine
327	3-bromo-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
328	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-propyl-1H-pyrazolo[3,4-d]pyrimidine
329	4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}phenol
330	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-N-phenyl-1H-pyrazolo[3,4-d]pyrimidin-3-amine
331	4-[4-(3-chlorophenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
332	4-{4-[5-chloro-2-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
333	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}phenol
334	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-{3-[(phenylmethyl)oxy]phenyl}-1H-pyrazolo[3,4-d]pyrimidine
335	3-(1,3-benzodioxol-5-yl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
336	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(2-thienyl)-1H-pyrazolo[3,4-d]pyrimidine
337	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}aniline
338	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}benzoic acid

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
339	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-methylphenyl)-1H-pyrazolo[3,4-d]pyrimidine
340	N-(4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}phenyl)acetamide
341	4-[4-(3-chlorophenyl)-1,4-diazepan-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
342	4-[5-(3-chlorophenyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
343	4-(4-{3-chloro-4-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
344	methyl 1-(3-chlorophenyl)-4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxylate
345	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-methylbut-2-en-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
346	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1H-pyrazolo[3,4-d]pyrimidine
347	methyl 4-(3-chlorophenyl)-1-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxylate
348	4-(4-{3-chloro-4-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
349	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(1-methylethyl)-1H-pyrazolo[3,4-d]pyrimidine
350	1-(3-chlorophenyl)-4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxylic acid
351	1-(3-chlorophenyl)-4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-N-methylpiperazine-2-carboxamide
352	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(phenylmethyl)-1H-pyrazolo[3,4-d]pyrimidine
353	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(2-methylpropyl)-1H-pyrazolo[3,4-d]pyrimidine
354	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-(methyloxy)phenyl]-1H-pyrazolo[3,4-d]pyrimidine
355	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidine
356	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-(phenyloxy)phenyl]-1H-pyrazolo[3,4-d]pyrimidine
357	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-{4-[(piperidin-4-ylmethyl)oxy]phenyl}-1H-pyrazolo[3,4-d]pyrimidine
358	1-(3-chlorophenyl)-N-[2-(dimethylamino)ethyl]-4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazine-2-carboxamide
359	4-[4-(5-chloro-2-methyl-3-morpholin-4-ylphenyl)piperazin-1-yl]-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
360	4-(3-chlorophenyl)-1-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-N-methylpiperazine-2-carboxamide
361	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[2-(methyloxy)phenyl]-1H-pyrazolo[3,4-d]pyrimidine
362	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-pyridin-4-yl-1H-pyrazolo[3,4-d]pyrimidine
363	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[3-(methyloxy)phenyl]-1H-pyrazolo[3,4-d]pyrimidine

Table 2a. Representative AKT Inhibitors

Cmpd No.	Name
364	4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}benzonitrile
365	[5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]methanol
366	methyl 5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzoate
367	(2E)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-enoic acid
368	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}propanoic acid
369	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}propan-1-ol
370	methyl (2E)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-enoate
371	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-{4-[(2-morpholin-4-ylethyl)oxy]phenyl}-1H-pyrazolo[3,4-d]pyrimidine
372	5-chloro-N-[2-(dimethylamino)ethyl]-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzamide
373	4-{4-[5-chloro-2-(methyloxy)-3-[(4-methylpiperazin-1-yl)carbonyl]phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine
374	2-(dimethylamino)ethyl 5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzoate
375	1-[5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]-N,N-dimethylmethanamine
376	N'-{[5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]methyl}-N,N-dimethylethane-1,2-diamine
377	[1-(3-chlorophenyl)-4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-2-yl]methanol
378	3-{[4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]phenyl}oxy]-N,N-dimethylpropan-1-amine
379	2-chloro-4-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenol
380	1-(3-chlorophenyl)-4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-N-(1-methylpiperidin-4-yl)piperazine-2-carboxamide
381	1-(3-chlorophenyl)-4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-N-(2-morpholin-4-ylethyl)piperazine-2-carboxamide
382	2-{[5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]oxy}-N,N-dimethylethanamine
383	3-{5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-N,N-dimethylprop-2-yn-1-amine
384	N'-{5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-N,N-dimethylethane-1,2-diamine
385	1,1-dimethylethyl (2E)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-enoate
386	3-{[2-chloro-4-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenyl]oxy}-N,N-dimethylpropan-1-amine
387	2-{[2-chloro-4-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenyl]oxy}-N,N-dimethylethanamine
388	4-{4-[5-chloro-2-methyl-4-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine

Table 2a. Representative AKT Inhibitors	
Cmpd No.	Name
389	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-methylpiperazin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
390	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}-N,N-diethylprop-2-yn-1-amine
391	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}prop-2-yn-1-ol
392	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(piperidin-4-ylmethyl)-1H-pyrazolo[3,4-d]pyrimidine
393	phenylmethyl (3aR,6aS)-5-({4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}methylidene)hexahydrocyclopenta[c]pyrrole-2(1H)-carboxylate
394	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[(E)-(3aR,6aS)-hexahydrocyclopenta[c]pyrrol-5(1H)-ylidenemethyl]-1H-pyrazolo[3,4-d]pyrimidine
395	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylprop-1-yn-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
396	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[3-(4-methylpiperazin-1-yl)prop-1-yn-1-yl]-1H-pyrazolo[3,4-d]pyrimidine
397	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl}-N,N-diethylpropan-1-amine
398	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylpropyl)-1H-pyrazolo[3,4-d]pyrimidine
399	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-pyrazolo[3,4-d]pyrimidine
400	3-{5-chloro-3-[4-(3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}-N,N-diethylpropan-1-amine
401	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1H-pyrazolo[3,4-d]pyrimidine and
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.

Table 2b. Additional Representative AKT Inhibitors	
Entry	Name
1	[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methanol
2	2-{[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl}oxy}-N,N-dimethylethanamine
3	3-{[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl}oxy}-N,N-dimethylpropan-1-amine
4	3-bromo-4-{4-[(4-bromophenyl)methyl]piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
5	{4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-yl}methanol
6	N'-[[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]-N,N-diethylethane-1,2-diamine
7	3-bromo-4-{4-[(1,1-dimethylethyl)phenyl]methyl}piperazin-1-yl}-1H-pyrazolo[3,4-d]pyrimidine
8	4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-one

Table 2b. Additional Representative AKT Inhibitors	
Entry	Name
9	2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(4-chlorophenyl)- <i>N</i> -[2-(dimethylamino)ethyl]acetamide
10	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N</i> , <i>N</i> -diethylpropane-1,3-diamine
11	3-bromo-4-{4-[(4-(trifluoromethyl)phenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
12	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N</i> -[2-(dimethylamino)ethyl]urea
13	<i>N</i> -[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]- <i>N</i> -[2-(dimethylamino)ethyl]urea
14	2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-2-oxopiperazin-1-yl]-2-(4-chlorophenyl)- <i>N</i> -[2-(dimethylamino)ethyl]acetamide
15	2-(dimethylamino)ethyl [1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)carbamate
16	3-bromo-4-{4-[(4-chloro-3-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
17	3-bromo-4-{4-[(4-chloro-2-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
18	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N</i> , <i>N</i> -diethylethane-1,2-diamine
19	3-bromo-4-{4-[(4-chlorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
20	[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methanone
21	<i>N</i> -[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]- <i>N</i> , <i>N</i> -diethyl- <i>N</i> -methylethane-1,2-diamine
22	[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methanol
23	3-bromo-4-{4-[(2-fluoro-4-(trifluoromethyl)phenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
24	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N</i> -3- <i>N</i> -3-diethyl-beta-alaninamide
25	2-[[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methyl]oxy]- <i>N</i> , <i>N</i> -dimethylethanamine
26	<i>N</i> -[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]- <i>N</i> -3- <i>N</i> -3-diethyl-beta-alaninamide
27	3-bromo-4-{4-[(3,4-dichlorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
28	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N</i> -[2-(dimethylamino)ethyl]ethanediamide
29	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)-2-(diethylamino)ethanesulfonamide
30	4-[4-(biphenyl-4-ylmethyl)piperazin-1-yl]-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
31	3-bromo-4-{(3 <i>S</i>)-4-[(4-chlorophenyl)methyl]-3-methylpiperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
32	3-bromo-4-{4-[(4-(methyloxy)phenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
33	4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -[3-(trifluoromethyl)phenyl]piperazine-1-carboxamide
34	3-bromo-4-{4-[(4-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
35	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)pent-4-enamide
36	3-bromo-4-[4-(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

Table 2b. Additional Representative AKT Inhibitors

Entry	Name
37	4-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
38	[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methanone
39	3-bromo-4-(4-[[4-(phenyloxy)phenyl]methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
40	3-bromo-4-(4-[(3,4-dichlorophenyl)methyl]piperidin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
41	4-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl]- <i>N,N</i> -dimethylaniline
42	methyl 4-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl] benzoate
43	3-bromo-4-(4-[(2 <i>E</i>)-3-phenylprop-2-enoyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
44	1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-4-[(4-chlorophenyl)methyl]- <i>N</i> -[3-(diethylamino)propyl]piperidine-4-carboxamide
45	3-bromo-4-(4-[(2-bromophenyl)methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
46	3-bromo-4-(4-[(2-chlorophenyl)methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
47	3-bromo-4-(4-[(2,4-dichlorophenyl)methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
48	3-bromo-4-(4-[(2-chloro-4-fluorophenyl)methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
49	1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-4-(4-chlorophenyl)- <i>N</i> -[3-(diethylamino)propyl]piperidine-4-carboxamide
50	3-bromo-4-[4-(phenylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
51	2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -pyridin-2-ylacetamide
52	3-bromo-4-[4-(1 <i>H</i> -imidazol-2-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
53	3-bromo-4-(4-[[3-(phenyloxy)phenyl]methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
54	3-bromo-4-(4-[(3-methylphenyl)methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	3-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl]benzonitrile
56	3-bromo-4-(4-[(2-chloro-6-fluorophenyl)methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
57	3-bromo-4-[4-(1-phenylethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
58	3-bromo-4-[4-(pyridin-4-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
59	1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -(4-chlorophenyl)piperidin-4-amine
60	3-bromo-4-[4-(pyridin-3-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
61	3-bromo-4-(4-[[2,3,4-tris(methyloxy)phenyl]methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
62	3-bromo-4-[4-({3-[(phenylmethyl)oxy]phenyl}methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
63	3-bromo-4-[4-(naphthalen-1-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
64	3-bromo-4-(4-[[5-(4-chlorophenyl)furan-2-yl]methyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

Table 2b. Additional Representative AKT Inhibitors

Entry	Name
65	3-bromo-4-[4-({4-[(4-fluorophenyl)oxy]-3-nitrophenyl} methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
66	3-bromo-4-[4-(furan-2-ylcarbonyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
67	3-bromo-4-[4-(1 <i>H</i> -indol-6-ylcarbonyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
68	3-bromo-4-[4-[2-(2-thienyl)ethyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
69	3-bromo-4-[4-(3-pyrrolidin-1-ylpropyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
70	3-bromo-4-[4-(cyclohexylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
71	3-bromo-4-[4-[(10-chloroanthracen-9-yl)methyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
72	3-bromo-4-[4-(1-methylpropyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
73	4-(4-({4,6-bis(methyloxy)pyrimidin-2-yl} methyl)piperazin-1-yl)-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
74	3-bromo-4-[4-[2-(methyloxy)ethyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
75	3-bromo-4-[4-(2-morpholin-4-yl-2-oxoethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
76	3-bromo-4-[4-[3-(methyloxy)propyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
77	4-[4-({4,6-bis(methyloxy)pyrimidin-2-yl}(phenyl)methyl)piperazin-1-yl]-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
78	3-bromo-4-[4-(6,7,8,9-tetrahydro-5 <i>H</i> -benzocyclohepten-5-yl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
79	3-bromo-4-[4-({4-[(phenylmethyl)oxy]phenyl} methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
80	3-bromo-4-[4-({3-chloro-4-[(phenylmethyl)oxy]phenyl} methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
81	4-({4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl} methyl)- <i>N</i> -(3-morpholin-4-ylpropyl)benzamide
82	4-({4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl} methyl)- <i>N</i> -[3-(methyloxy)propyl]benzamide
83	2-({4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-yl} methyl)oxy)- <i>N,N</i> -dimethylethanamine
84	3-bromo-4-[4-({4-[(4-chlorophenyl)oxy]-3-nitrophenyl} methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
85	2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N,N</i> -dimethylacetamide
86	2-({[(<i>R</i>)-1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl}oxy)- <i>N,N</i> -dimethylethanamine
87	<i>N</i> -(4-bromo-3-fluorophenyl)- <i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N'</i> -[2-(dimethylamino)ethyl]urea
88	2-({[(<i>R</i>)-(4-chlorophenyl)[1-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]methyl}oxy)- <i>N,N</i> -dimethylethanamine
89	2-({[(<i>S</i>)-1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl}oxy)- <i>N,N</i> -dimethylethanamine
90	3-bromo-4-(4-({(4-chlorophenyl)[(2-pyrrolidin-1-ylethyl)oxy]methyl} piperidin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
91	1-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]-1-(4-chlorophenyl)-4-(dimethylamino)butan-1-ol
92	2-({[(<i>R</i>)-1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chloro-3-fluorophenyl)methyl}oxy)- <i>N,N</i> -dimethylethanamine

Table 2b. Additional Representative AKT Inhibitors	
Entry	Name
93	3-bromo-4-(4-((R)-(4-chlorophenyl)[(2-piperidin-1-ylethyl)oxy]methyl)piperidin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
94	4-[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-4-(4-chlorophenyl)-N,N-dimethylbutan-1-amine
95	3-bromo-4-(4-((R)-(4-chlorophenyl)[(2-morpholin-4-ylethyl)oxy]methyl)piperidin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
96	1-[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorophenyl)-N-(furan-2-ylmethyl)-N-methylmethanamine
97	1-[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorophenyl)-N-methyl-N-(pyridin-2-ylmethyl)methanamine
98	4-{{{1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl}(4-fluorophenyl)methyl}(methyl)amino)methyl}-N,N-dimethylaniline
99	[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperazin-1-yl](1H-indol-6-yl)methanol
100	3-bromo-4-(4-((R)-(4-chloro-3-fluorophenyl)[(2-pyrrolidin-1-ylethyl)oxy]methyl)piperidin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
101	3-bromo-4-(4-[(4-chlorophenyl)oxy]piperidin-1-yl)-1H-pyrazolo[3,4-d]pyrimidine
102	2-{{{(R)-[1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl}(4-chlorophenyl)methyl}oxy}-N,N-diethylethanamine
103	2-{{{1-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)piperidin-4-yl}oxy}-5-chloro-N-(2-pyrrolidin-1-ylethyl)aniline and
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.

Table 3a. Representative c-MET Inhibitors	
Cmpd No.	Name
1	N-(4-fluorophenyl)-N'-[3-fluoro-4-(7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)phenyl]propanediamide
2	N-(4-fluorophenyl)-N'-[3-fluoro-4-(7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
3	N-({[3-fluoro-4-(7H-pyrrolo[2,3-d]pyrimidin-4-yloxy)phenyl]amino}carbonothioyl)-2-phenylacetamide
4	N-(4-fluorophenyl)-N'-4-([1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]oxy)phenyl]cyclopropane-1,1-dicarboxamide
5	2-phenyl-N-({[4-([1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]oxy)phenyl]amino}carbonothioyl)acetamide
6	N-(4-fluorophenyl)-N'-[4-(1H-pyrazolo[3,4-d]pyrimidin-4-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
7	2-phenyl-N-({[4-(1H-pyrazolo[3,4-d]pyrimidin-4-yloxy)phenyl]amino}carbonothioyl)acetamide
8	N-(4-fluorophenyl)-N'-4-([9-(tetrahydro-2H-pyran-2-yl)-9H-purin-6-yl]oxy)phenyl]cyclopropane-1,1-dicarboxamide
9	2-phenyl-N-({[4-([9-(tetrahydro-2H-pyran-2-yl)-9H-purin-6-yl]oxy)phenyl]amino}carbonothioyl)acetamide
10	N-(4-fluorophenyl)-N'-[4-(9H-purin-6-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
11	2-phenyl-N-({[4-(9H-purin-6-yloxy)phenyl]amino}carbonothioyl)acetamide
12	N-{3-fluoro-4-[(6-((2-morpholin-4-ylethyl)amino)carbonyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]oxy}phenyl}-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide and

Table 3a. Representative c-MET Inhibitors

Cmpd No.	Name
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
1	<i>N</i> -[(3-fluoro-4-[(6-(methyloxy)-7-[[[(3aR,6aS)-octahydrocyclopenta[c]pyrrol-5-ylmethyl]oxy]quinazolin-4-yl]oxy]phenyl)amino]carbonothioyl]-2-phenylacetamide
2	<i>N</i> -{[(3-fluoro-4-[[7-[[[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]phenyl]amino]carbonothioyl}-2-phenylacetamide
3	<i>N</i> -{[(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)(methyl)amino]carbonothioyl}-2-phenylacetamide
4	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)imidazolidin-2-one
5	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-3-(phenylmethyl)imidazolidin-2-one
6	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-3-(phenylacetyl)imidazolidin-2-one
7	ethyl [(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)amino](oxo)acetate
8	<i>N</i> -{[(4-[[6,7-bis(methyloxy)quinazolin-4-yl]amino]-3-fluorophenyl)amino]carbonothioyl}-2-phenylacetamide
9	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)sulfamide
10	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-3-(phenylmethyl)-1,2,4-oxadiazol-5-amine
11	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)piperidin-2-one
12	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> -(phenylmethyl)ethanediamide
13	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-4-phenyl-1,3-thiazol-2-amine
14	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> -(2-phenylethyl)ethanediamide
15	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-1-phenylmethanesulfonamide
16	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-2-phenylethanesulfonamide
17	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -(phenylmethyl)benzenesulfonamide
18	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -methyl- <i>N</i> -(phenylmethyl)benzenesulfonamide
19	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -(2-phenylethyl)benzenesulfonamide
20	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)benzenesulfonamide
21	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -(3-phenylpropyl)benzenesulfonamide
22	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)pyrrolidin-2-one
23	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl (phenylmethyl)carbamate
24	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl (2-phenylethyl)carbamate
25	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -methyl- <i>N</i> -(3-phenylpropyl)benzenesulfonamide
26	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> -(phenylethyl)ethanediamide
27	<i>N</i> -{[(3-fluoro-4-[[7-[[[(2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]phenyl]amino]carbonothioyl]-2-phenylacetamide
28	<i>N</i> -[(<i>Z</i>)-[(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)amino](imino)methyl]-2-phenylacetamide
29	4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -[2-(phenyloxy)ethyl]benzenesulfonamide

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
30	<i>N,N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-bis-(3-phenylpropane-1-sulfonamide)
31	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-3-phenylpropane-1-sulfonamide
32	<i>N</i> 2-[[4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)sulfonyl]- <i>N</i> 1-phenylglycinamide
33	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-2-phenylacetamide
34	<i>N</i> -{{[6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl]amino}carbonothioyl}-2-phenylacetamide
35	6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-1,3-benzothiazol-2-amine
36	6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-amine
37	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-phenylacetamide
38	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(2-morpholin-4-ylethyl)ethanediamide
39	benzyl-{{[4-(6,7-dimethoxy-quinolin-4-yloxy)-3-fluoro-phenylcarbonyl]-methyl}-carbamic acid tert-butyl ester
40	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-(phenylmethyl)glycinamide
41	<i>N</i> 2-acetyl- <i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-(phenylmethyl)glycinamide
42	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-1,3-benzothiazol-2-yl)-2-phenylacetamide
43	benzyl-{{[6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-ylcarbonyl]-methyl}-carbamic acid tert-butyl ester
44	<i>N</i> 1-(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)- <i>N</i> 2-(phenylmethyl)glycinamide
45	<i>N</i> 2-acetyl- <i>N</i> 1-(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)- <i>N</i> 2-(phenylmethyl)glycinamide
46	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-3-phenylpropanamide
47	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)-4-phenylbutanamide
48	<i>N</i> 1-(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)glycinamide
49	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(2-{4-(methyloxy)phenyl}ethyl)ethanediamide
50	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)glycinamide
51	4-[[2-amino-1,3-benzothiazol-6-yl]oxy]-6,7-bis(methyloxy)-1-(2-oxo-2-phenylethyl)quinolinium
52	<i>N</i> -{{[4-{{[6,7-bis(methyloxy)quinolin-4-yl]amino}phenyl]amino}carbonothioyl}-2-phenylacetamide
53	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-3-phenylpropanamide
54	<i>N</i> -{{[6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl]amino}carbonothioyl}-2-phenylacetamide
55	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(2,3-dihydro-1 <i>H</i> -inden-1-yl)ethanediamide
56	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(2,3-dihydro-1 <i>H</i> -inden-2-yl)ethanediamide
57	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(1,2,3,4-tetrahydronaphthalen-1-yl)ethanediamide
58	<i>N'</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> -(2-phenylethyl)- <i>N</i> -(phenylmethyl)sulfamide
59	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
	(trifluoroacetyl)glycinamide
60	<i>N</i> -{[4-{(6,7-dimethoxy-quinolin-4-yl)oxy}-3-fluoro-phenyl]carbonyl}-methyl-benzamide
61	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)propanediamide
62	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -[(2 <i>S</i>)-1,2,3,4-tetrahydronaphthalen-2-yl]ethanediamide
63	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -[2-(4-methylphenyl)ethyl]ethanediamide
64	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(2-phenylpropyl)ethanediamide
65	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -[2-(4-chlorophenyl)ethyl]ethanediamide
66	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N,N'</i> -bis(phenylmethyl)sulfamide
67	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N,N'</i> -bis(2-phenylethyl)sulfamide
68	ethyl [(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)amino](oxo)acetate
69	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N'</i> -(2-phenylethyl)ethanediamide
70	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)propanediamide
71	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(1,2,3,4-tetrahydronaphthalen-2-yl)ethanediamide
72	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -[2-(1-methylpyrrolidin-2-yl)ethyl]ethanediamide
73	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -[2-(phenyloxy)ethyl]ethanediamide
74	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -[2-hydroxy-1-(phenylmethyl)ethyl]urea
75	1-(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-3-[(4-methylphenyl)sulfonyl]-4-(phenylmethyl)imidazolidin-2-one
76	<i>N'</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)ethanediamide
77	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -{[3-(trifluoromethyl)phenyl]methyl}ethanediamide
78	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -{2-[3-(trifluoromethyl)phenyl]ethyl}ethanediamide
79	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-3-oxo-4-phenylbutanamide
80	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)-2-[3-(trifluoromethyl)phenyl]acetamide
81	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro- <i>N</i> -[2-(phenyloxy)ethyl]-1,3-benzothiazol-2-amine
82	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro- <i>N</i> -(2-piperidin-1-ylethyl)-1,3-benzothiazol-2-amine
83	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)-1,3-benzothiazol-2-amine
84	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro- <i>N</i> -(2-pyrrolidin-1-ylethyl)-1,3-benzothiazol-2-amine
85	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro- <i>N</i> -{[3-(trifluoromethyl)phenyl]methyl}-1,3-benzothiazol-2-amine
86	6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro- <i>N</i> -[2-[3-(trifluoromethyl)phenyl]ethyl]-1,3-benzothiazol-2-amine
87	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N'</i> -[3-

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
	(trifluoromethyl)phenyl]propanediamide
88	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-[3-(trifluoromethyl)phenyl]acetamide
89	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-{{[3-(trifluoromethyl)phenyl]methyl}glycinamide
90	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-(2-phenylethyl)glycinamide
91	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-{2-[3-(trifluoromethyl)phenyl]ethyl}glycinamide
92	benzyl-{{[5-chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-ylcarbamoyl]-methyl}-carbamic acid tert-butyl ester
93	<i>N</i> 1-(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N</i> 2-(phenylmethyl)glycinamide
94	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-[3,5-bis(trifluoromethyl)phenyl]acetamide
95	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-fluoro-1,3-benzothiazol-2-yl)-2-[2-chloro-5-(trifluoromethyl)phenyl]acetamide
96	<i>N</i> -(3-fluoro-4-{{[6-(methyloxy)-7-{{[(1-methylpiperidin-4-yl)methyl]oxy}quinolin-4-yl]oxy}phenyl)- <i>N'</i> -(2-phenylethyl)ethanediamide
97	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(1,2,3,4-tetrahydroisoquinolin-1-yl)methyl)ethanediamide
98	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -[(2-methyl-1,2,3,4-tetrahydroisoquinolin-1-yl)methyl]ethanediamide
99	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-{{[3-(trifluoromethyl)phenyl]methyl}glycinamide
100	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-{2-[3-(trifluoromethyl)phenyl]ethyl}glycinamide
101	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-(2-phenylethyl)glycinamide
102	1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)-4-(phenylmethyl)imidazolidin-2-one
103	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}pyridazin-3-yl)- <i>N'</i> -(4-fluorophenyl)propanediamide
104	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N'</i> -(2-chlorophenyl)propanediamide
105	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N'</i> -(3-chlorophenyl)propanediamide
106	<i>N</i> 1-(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)glycinamide
107	<i>N</i> -(6-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N'</i> -(4-chlorophenyl)propanediamide
108	(2 <i>E</i>)- <i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-2-[(methyloxy)imino]propanamide
109	(2 <i>E</i>)- <i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-2-[(ethyloxy)imino]propanamide
110	(2 <i>E</i>)- <i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-2-[[[phenylmethyl]oxy]imino]propanamide
111	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-1-(phenylmethyl)prolinamide
112	1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-3-[(4-methylphenyl)sulfonyl]-4-(phenylmethyl)imidazolidin-2-one
113	1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-4-(phenylmethyl)imidazolidin-2-one
114	<i>N</i> -(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-4-(phenylmethyl)-4,5-dihydro-1,3-oxazol-2-amine
115	6,7-bis(methyloxy)-4-({4-[4-(phenylmethyl)piperazin-1-yl]phenyl}oxy)quinoline
116	1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)-4-(phenylmethyl)piperazin-2-one

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
117	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl})- <i>N</i> 2-(phenylmethyl)alaninamide
118	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl})- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)alaninamide
119	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl})- <i>N</i> 2-(phenylmethyl)leucinamide
120	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl})- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)leucinamide
121	<i>N</i> 1-(4-{{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl})- <i>N</i> 2-(phenylmethyl)valinamide
122	4-(6,7-dimethoxy-quinolin-4-ylamino)- <i>N</i> -(3-phenyl-propyl)-benzamide
123	4-benzyl-1-[4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl]-tetrahydro-pyrimidin-2-one
124	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N</i> '-phenethyl-oxalamide
125	2-(Benzyl-methyl-amino)- <i>N</i> -(4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl)-3-methyl-butylamide (note: Alphabetic order of prefixes ignored while selecting parent chain)
126	<i>N</i> -(4-(6,7-Dimethoxy-quinolin-4-yloxy)-phenyl)-2-phenoxyimino-propionamide
127	2-Benzoyloxyimino- <i>N</i> -(4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl)-2-phenyl-acetamide
128	4-[4-(4-Benzyl-piperidin-1-yl)-phenoxy]-6,7-dimethoxy-quinoline
129	<i>N</i> -(4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl)- <i>N</i> '-(2-isopropyl-1,2,3,4-tetrahydro-isoquinolin-1-ylmethyl)-oxalamide
130	<i>N</i> -(4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl)- <i>N</i> '-(2-ethyl-1,2,3,4-tetrahydro-isoquinolin-1-ylmethyl)-oxalamide
131	4-(4-(3-Chloro-5-[2-(4-fluoro-phenylcarbamoyl)-acetylamino]-pyridin-2-yloxy)-6-methoxy-quinolin-7-yloxymethyl)-piperidine-1-carboxylic acid tert-butyl ester
132	<i>N</i> -(5-Chloro-6-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-pyridin-3-yl)- <i>N</i> '-(4-fluoro-phenyl)-malonamide
133	<i>N</i> -(5-Chloro-6-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-pyridin-3-yl)- <i>N</i> '-(4-fluoro-phenyl)-malonamide
134	<i>N</i> -(4-[7-(3-Diethylamino-propoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl)- <i>N</i> '-phenethyl-oxalamide
135	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(3-morpholin-4-yl-propoxy)-quinolin-4-yloxy]-phenyl)- <i>N</i> '-phenethyl-oxalamide
136	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(3-piperidin-1-yl-propoxy)-quinolin-4-yloxy]-phenyl)- <i>N</i> '-phenethyl-oxalamide
137	<i>N</i> -(4-[7-(2-Diethylamino-ethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl)- <i>N</i> '-phenethyl-oxalamide
138	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N</i> '-methyl- <i>N</i> '-phenethyl-oxalamide
139	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(2-methyl-octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N</i> '-phenethyl-oxalamide
140	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(2-methyl-octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinazolin-4-yloxy]-phenyl)- <i>N</i> '-phenethyl-oxalamide
141	2-(3,4-Dihydro-1 <i>H</i> -isoquinolin-2-yl)- <i>N</i> -(3-fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-2-oxo-acetamide
142	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-2-oxo-2-(3-phenyl-pyrrolidin-1-yl)-acetamide
143	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-2-oxo-2-(2-phenyl-morpholin-4-yl)-acetamide
144	<i>N</i> -(2-Dimethylamino-2-phenyl-ethyl)- <i>N</i> '-(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
145	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N</i> '-(2-oxo-2-phenyl-ethyl)-oxalamide

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
146	<i>N</i> -[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-2,2-difluoro- <i>N'</i> -(4-fluoro-phenyl)-malonamide
147	<i>N</i> -Benzyl- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
148	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(2-fluoro-phenyl)-ethyl)-oxalamide
149	<i>N</i> -(2-(3-Chloro-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
150	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(2-methoxy-phenyl)-ethyl)-oxalamide
151	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-pyridin-3-yl-ethyl)-oxalamide
152	<i>N</i> -Benzyl- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
153	<i>N</i> -(2-(2,5-Dimethoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
154	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(2-trifluoromethyl-phenyl)-ethyl)-oxalamide
155	<i>N</i> -(2-(2-Ethoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
156	<i>N</i> -(2-(2,4-Dimethyl-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
157	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(1 <i>S</i> -phenyl-2- <i>p</i> -tolyl-ethyl)-oxalamide
158	<i>N</i> -(2-(4-Chloro-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
159	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamic acid
160	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(3-fluoro-phenyl)-ethyl)-oxalamide
161	<i>N</i> -(2-(2-Chloro-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
162	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(3-methoxy-phenyl)-ethyl)-oxalamide
163	<i>N</i> -(1,2-Diphenyl-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
164	<i>N</i> -(2-(2,4-Dichloro-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
165	<i>N</i> -(2-(3,4-Dimethoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
166	<i>N</i> -(2-(4-Ethyl-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
167	<i>N</i> -(2-(4-Ethoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
168	<i>N</i> -(2-(4-Ethoxy-3-methoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
169	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(4-phenoxy-phenyl)-ethyl)-oxalamide
170	<i>N</i> -(2-(3-Ethoxy-4-methoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
171	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-pyridin-2-yl-ethyl)-oxalamide
172	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
	pyridin-4-yl-ethyl)-oxalamide
173	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-(4-fluoro-phenyl)-ethyl)-oxalamide
174	<i>N</i> -[2-(2-Bromo-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
175	<i>N</i> -[2-(2-Chloro-6-fluoro-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
176	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2 <i>R</i> -phenyl-propyl)-oxalamide
177	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -indan-1-yl-oxalamide
178	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -isobutyl-oxalamide
179	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(3-methyl-butyl)-oxalamide
180	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2 <i>R</i> -phenyl-propyl)-oxalamide
181	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-phenyl-propyl)-oxalamide
182	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -indan-2-yl-oxalamide
183	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1 <i>R</i> -phenyl-ethyl)-oxalamide
184	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1 <i>S</i> -phenyl-ethyl)-oxalamide
185	<i>N</i> -[2-(3-Bromo-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
186	<i>N</i> -[2-(2,6-Dichloro-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
187	<i>N</i> -[2-(2,4-Dichloro-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
188	<i>N</i> -(2-Benzo[1,3]dioxol-5-yl-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
189	<i>N</i> -[2-(3-Bromo-4-methoxy-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
190	<i>N</i> -[2-(3,5-Dimethoxy-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
191	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2- <i>o</i> -tolyl-ethyl)-oxalamide
192	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2- <i>m</i> -tolyl-ethyl)-oxalamide
193	<i>N</i> -[2-(3-Ethoxy-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
194	<i>N</i> -[2-(3,4-Dimethyl-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
195	<i>N</i> -[2-(2,5-Dimethyl-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
196	<i>N</i> -[2-(3-Chloro-4-propoxy-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
197	<i>N</i> -[2-(4-Butoxy-3-chloro-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
198	<i>N</i> -[2-(4- <i>tert</i> -Butyl-phenyl)-ethyl]- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
199	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(4-sulfamoyl-phenyl)-ethyl]-oxalamide
200	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(4-hydroxy-3-methoxy-phenyl)-ethyl]-oxalamide
201	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(3-hydroxy-4-methoxy-phenyl)-ethyl]-oxalamide
202	<i>N</i> -(2,4-Dichloro-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
203	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(4-fluoro-2-trifluoromethyl-benzyl)-oxalamide
204	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1-p-tolyl-ethyl)-oxalamide
205	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(3-fluoro-4-trifluoromethyl-benzyl)-oxalamide
206	<i>N</i> -(3-Chloro-4-fluoro-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
207	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[1-(3-methoxy-phenyl)-ethyl]-oxalamide
208	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1-naphthalen-2-yl-ethyl)-oxalamide
209	<i>N</i> -(4-Chloro-3-trifluoromethyl-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
210	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1-p-tolyl-ethyl)-oxalamide
211	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(6-trifluoromethyl-pyridin-3-ylmethyl)-oxalamide
212	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-methyl-benzyl)-oxalamide
213	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(3-methyl-benzyl)-oxalamide
214	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(4-fluoro-3-trifluoromethyl-benzyl)-oxalamide
215	<i>N</i> -(3,5-Dichloro-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
216	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1 <i>R</i> ,2,3,4-tetrahydro-naphthalen-1-yl)-oxalamide
217	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1 <i>S</i> ,2,3,4-tetrahydro-naphthalen-1-yl)-oxalamide
218	<i>N</i> -Cyclopentyl- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
219	<i>N</i> -[1-(4-Bromo-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
220	<i>N</i> -(2-Fluoro-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
221	<i>N</i> -[2-(3,4-Dichloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
222	<i>N</i> -(4-Fluoro-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
223	<i>N</i> -(2,3-Difluoro-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
224	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-phenoxy-ethyl)-oxalamide
225	<i>N</i> -(2,2-Diphenyl-ethyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
	yoxy]-phenyl}-oxalamide
226	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(4-methoxy-phenyl)-ethyl)-oxalamide
227	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-phenyl-propyl)-oxalamide
228	<i>N</i> -(2-(4-Bromo-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
229	<i>N</i> -(4-[7-(1-Ethyl-piperidin-4-ylmethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl)-2-oxo-2-(2-phenyl-morpholin-4-yl)-acetamide
230	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-fluoro-5-trifluoromethyl-benzyl)-oxalamide
231	<i>N</i> -(3,5-Difluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
232	<i>N</i> -(2-Chloro-5-trifluoromethyl-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
233	<i>N</i> -(4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl)- <i>N'</i> -(2-dimethylamino-2-phenyl-ethyl)-oxalamide
234	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-methoxy-benzyl)-oxalamide
235	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-trifluoromethyl-benzyl)-oxalamide
236	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-methoxy-benzyl)-oxalamide
237	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-trifluoromethyl-benzyl)-oxalamide
238	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-trifluoromethoxy-benzyl)-oxalamide
239	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-methoxy-benzyl)-oxalamide
240	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-trifluoromethyl-benzyl)-oxalamide
241	<i>N</i> -(3-Chloro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
242	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-trifluoromethoxy-benzyl)-oxalamide
243	<i>N</i> -(2-Chloro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
244	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-trifluoromethoxy-benzyl)-oxalamide
245	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-methoxy-benzyl)-oxalamide
246	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-trifluoromethyl-benzyl)-oxalamide
247	<i>N</i> -(4-[7-(Azetidin-3-ylmethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl)- <i>N'</i> -phenethyl-oxalamide
248	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-azetidin-3-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide
249	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-hydroxy-2-phenyl-ethyl)-oxalamide
250	<i>N</i> -(5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl)- <i>N'</i> -(2,4-difluoro-phenyl)-malonamide
251	<i>N</i> -(5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)- <i>N'</i> -methyl-malonamide

Table 3b. Additional Representative c-MET Inhibitors

Entry	Name
252	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(1 <i>R</i> -phenyl-propyl)-oxalamide
253	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(1 <i>R</i> -phenyl-propyl)-oxalamide
254	<i>N</i> -(3,4-Difluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
255	<i>N</i> -(2,6-Difluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
256	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(4-fluoro-phenyl)-ethyl)-oxalamide
257	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -phenyl-oxalamide
258	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-fluoro-phenyl)-oxalamide
259	<i>N</i> -(4-Chloro-3-fluoro-phenyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
260	<i>N</i> -(3,4-Dimethoxy-phenyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
261	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-methyl-butyl)-oxalamide
262	<i>N</i> -(3,3-Dimethyl-butyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
263	<i>N</i> -(5-Chloro-6-[6-methoxy-7-(3-piperidin-1-yl-propoxy)-quinolin-4-yloxy]-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)-malonamide
264	<i>N</i> -(5-Chloro-6-[6-methoxy-7-(3-morpholin-4-yl-propoxy)-quinolin-4-yloxy]-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)-malonamide
265	<i>N</i> -(5-Chloro-6-[7-(3-diethylamino-propoxy)-6-methoxy-quinolin-4-yloxy]-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)-malonamide
266	<i>N</i> -(4-Chloro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
267	<i>N</i> -(3,5-Dimethoxy-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
268	<i>N</i> -(4-Butyl-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
269	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-p-tolyl-ethyl)-oxalamide
270	<i>N</i> -(3,5-Bis-trifluoromethyl-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
271	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -pyrazin-2-ylmethyl-oxalamide
272	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -pyridin-2-ylmethyl-oxalamide
273	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinazolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide
274	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinazolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide
275	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-fluoro-3-trifluoromethyl-benzyl)-oxalamide
276	<i>N</i> -(2-(2-Bromo-6-methoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
277	<i>N</i> -(2-(3,4-Dimethoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N</i> -methyl-oxalamide
278	<i>N</i> -(2-(5-Bromo-2-methoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-

Table 3b. Additional Representative c-MET Inhibitors	
Entry	Name
	ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
279	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-fluoro-5-trifluoromethyl-benzyl)-oxalamide
280	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -[1-(4-fluoro-phenyl)-ethyl]-oxalamide
281	<i>N</i> -(1 <i>S</i> -Benzyl-2-oxo-2-pyrrolidin-1-yl-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
282	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinazolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide
283	<i>N</i> -(2-(4-Amino-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
284	2-(4-Benzyl-piperidin-1-yl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-2-oxo-acetamide
285	<i>N</i> -(4-(6,7-Dimethoxy-quinolin-4-yloxy)-phenyl)- <i>N'</i> -(4-fluoro-phenyl)-malonamide
286	<i>N</i> -(5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl)- <i>N'</i> -(3-fluoro-phenyl)-malonamide
287	<i>N</i> -(5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl)- <i>N'</i> -phenyl-malonamide
288	<i>N</i> -(5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)-2,2-dimethyl-malonamide
289	<i>N</i> -Ethyl- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
290	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -isopropyl-oxalamide
291	<i>N</i> -Butyl- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
292	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-methoxy-ethyl)-oxalamide
293	<i>N</i> -Cyclopropylmethyl- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
294	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-morpholin-4-yl-ethyl)-oxalamide
295	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-2-oxo-2-pyrrolidin-1-yl-acetamide
296	<i>N</i> -Ethyl- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -methyl-oxalamide and
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.

Table 3c. Additional Representative c-MET Inhibitors	
Entry	Name
1	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
2	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
3	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -(phenylmethyl)cyclopropane-1,1-dicarboxamide
4	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -phenylcyclopropane-1,1-dicarboxamide
5	<i>N</i> -(3-fluoro-4-((6-(methyloxy)-7-((3-morpholin-4-ylpropyl)oxy)quinolin-4-yl]oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide

Table 3c. Additional Representative c-MET Inhibitors

Entry	Name
6	<i>N</i> -[3-fluoro-4-({6-(methyloxy)-7-[(3-piperidin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
7	<i>N</i> -[3-fluoro-4-({6-(methyloxy)-7-[(3-piperidin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
8	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloropyridin-3-yl)- <i>N'</i> -(2-phenylethyl)cyclopropane-1,1-dicarboxamide
9	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2-methylpyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
10	<i>N</i> -{4-[(7-chloroquinolin-4-yl)oxy]-3-fluorophenyl}- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
11	<i>N</i> -{4-[(7-chloroquinolin-4-yl)oxy]phenyl}- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
12	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
13	<i>N</i> -(4-{[6,7-bis(methyloxy)quinazolin-4-yl]oxy}phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
14	<i>N</i> -(4-{[6,7-bis(methyloxy)quinazolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
15	<i>N</i> -[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
16	<i>N</i> -{5-chloro-6-[(6-(methyloxy)-7-[(1-methylpiperidin-4-yl)methyl]oxy]quinolin-4-yl}oxy]pyridin-3-yl}- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
17	<i>N</i> -[5-chloro-6-({6-(methyloxy)-7-[(piperidin-4-ylmethyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
18	<i>N</i> -[5-chloro-6-({6-(methyloxy)-7-[(phenylmethyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
19	<i>N</i> -(4-{[7-([2-(diethylamino)ethyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
20	<i>N</i> -(4-{[7-([2-(diethylamino)ethyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
21	<i>N</i> -[3-fluoro-4-[(6-(methyloxy)-7-[(1-methylpiperidin-4-yl)methyl]oxy]quinazolin-4-yl]oxy]phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
22	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2-methylphenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
23	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[2-methyl-6-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]cyclopropane-1,1-dicarboxamide
24	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
25	<i>N</i> -(6-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-5-chloro-2-methylpyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
26	<i>N</i> -[3-fluoro-4-({7-(methyloxy)-6-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
27	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-3,5-difluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
28	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2,5-difluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
29	<i>N</i> -[3-fluoro-4-({7-(methyloxy)-6-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
30	<i>N</i> -[3-fluoro-4-[(6-(methyloxy)-7-(2-methyloctahydrocyclopenta[c]pyrrol-5-ylmethoxy)quinazolin-4-yl]oxy]phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
31	<i>N</i> -[3-fluoro-4-[(7-(methyloxy)-6-[(1-methylpiperidin-4-yl)methyl]oxy]quinazolin-4-yl]oxy]phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
32	<i>N</i> -[5-fluoro-2-methyl-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
33	<i>N</i> -(4-{[6,7-bis(methyloxy)quinolin-4-yl]oxy}-2,3,5-trifluorophenyl)- <i>N'</i> -(4-

Table 3c. Additional Representative c-MET Inhibitors

Entry	Name
	fluorophenyl)cyclopropane-1,1-dicarboxamide
34	<i>N</i> -(4-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-fluoro-2-methylphenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
35	<i>N</i> -(4-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-2-chloro-5-methylphenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
36	<i>N</i> -(3-fluoro-4-([6-hydroxy-7-(methyloxy)quinolin-4-yl]oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
37	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[2-methyl-4-([6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl]oxy)phenyl]cyclopropane-1,1-dicarboxamide
38	<i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-[(3-piperazin-1-ylpropyl)oxy]quinolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
39	<i>N</i> -(3-fluoro-4-([6-(methyloxy)-7-[(3-(4-methylpiperazin-1-yl)propyl]oxy)quinolin-4-yl]oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
40	<i>N</i> -(3-fluoro-4-([6-(methyloxy)-7-[(1-methylpiperidin-4-yl)methyl]oxy)quinolin-4-yl]oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
41	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[4-([6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl]oxy)phenyl]cyclopropane-1,1-dicarboxamide
42	<i>N</i> -(4-([7-([3-(diethylamino)propyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
43	<i>N</i> -(4-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-2-chloro-5-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
44	<i>N</i> -(4-([6,7-bis(methyloxy)-2-(methylthio)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
45	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -(4-([2-methyl-6,7-bis(methyloxy)quinazolin-4-yl]oxy)phenyl)cyclopropane-1,1-dicarboxamide
46	<i>N</i> -(4-([2-amino-6,7-bis(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
47	<i>N</i> -(3-fluoro-4-([2-(methylamino)-6,7-bis(methyloxy)quinolin-4-yl]oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
48	(1 <i>S</i> ,2 <i>R</i>)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
49	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
50	<i>N</i> -(4-([6-([3-(diethylamino)propyl]oxy)-7-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
51	<i>N</i> -(4-([6-([2-(diethylamino)ethyl]oxy)-7-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
52	1,1-dimethylethyl 4-(3-([4-([2-fluoro-4-([1-([4-fluorophenyl]amino)carbonyl]cyclopropyl)carbonyl]amino)phenyl]oxy)-6-(methyloxy)quinolin-7-yl]oxy)propyl)piperazine-1-carboxylate
53	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
54	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -(4-([7-([2-(diethylamino)ethyl]oxy)-6-(methyloxy)quinazolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
55	<i>N</i> -(4-([7-([3-(diethylamino)propyl]oxy)-6-(methyloxy)quinazolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
56	<i>N</i> -(4-([7-([3-(4-acetyl)piperazin-1-yl)propyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
57	1,1-dimethylethyl 4-(3-([4-([2-fluoro-4-([1-([4-fluorophenyl]amino)carbonyl]-2-methylcyclopropyl)carbonyl]amino)phenyl]oxy)-6-(methyloxy)quinolin-7-yl]oxy)propyl)piperazine-1-carboxylate
58	<i>N</i> -(4-([6,7-bis(methyloxy)quinolin-4-yl]oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)-1-(phenylmethyl)azetidine-3,3-dicarboxamide
59	<i>N</i> -(4-([6,7-bis(methyloxy)quinolin-4-yl]oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)azetidine-3,3-

Table 3c. Additional Representative c-MET Inhibitors

Entry	Name
	dicarboxamide
60	(1R,2S)-N-{3-fluoro-4-[(6-(methyloxy)-7-[(3-(4-methylpiperazin-1-yl)propyl)oxy]quinolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
61	(1R,2R)-N-{3-fluoro-4-[(6-(methyloxy)-7-[(3-(4-methylpiperazin-1-yl)propyl)oxy]quinolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
62	(1R,2R)-N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-piperazin-1-yl)propyl]oxy]quinolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
63	N-(3-fluoro-4-[[7-[(3-[4-(1-methylethyl)piperazin-1-yl]propyl)oxy]-6-(methyloxy)quinolin-4-yl]oxy]phenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
64	N-(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
65	(1R,2R)-N-(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
66	(1R,2R)-N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
67	(1R,2S)-N-(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
68	(1R,2S)-N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
69	N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
70	(1R,2S)-N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-piperazin-1-yl)propyl]oxy]quinolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
71	(1R,2R,3S)-N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-morpholin-4-yl)propyl]oxy]quinolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
72	(1R,2R,3S)-N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-(4-methylpiperazin-1-yl)propyl]oxy]quinolin-4-yl)oxy]phenyl]-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
73	(1R,2R,3S)-N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-morpholin-4-yl)propyl]oxy]quinazolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
74	(1R,2R,3S)-N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-(4-methylpiperazin-1-yl)propyl]oxy]quinazolin-4-yl)oxy]phenyl]-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
75	N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-morpholin-4-yl)propyl]oxy]quinazolin-4-yl]oxy]phenyl)-N'-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
76	(2R,3R)-N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-morpholin-4-yl)propyl]oxy]quinolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
77	(2R,3R)-N-(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
78	N-(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
79	N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-morpholin-4-yl)propyl]oxy]quinazolin-4-yl]oxy]phenyl)-N'-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
80	(1R,2R,3S)-N-(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
81	N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
82	(1R,2R,3S)-N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
83	N-[3-fluoro-4-[(6-(methyloxy)-7-[(3-morpholin-4-yl)propyl]oxy]quinolin-4-yl]oxy]phenyl)-N'-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
84	N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
85	N-(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide

Table 3c. Additional Representative c-MET Inhibitors

Entry	Name
	<i>N</i> -(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
86	<i>N</i> -(4-{{7-{{3-(diethylamino)propyl}oxy}-6-(methyloxy)quinazolin-4-yl}oxy}-3-fluorophenyl)- <i>N</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
87	<i>N</i> -(3-fluoro-4-{{6-(methyloxy)-7-{{3-(4-methylpiperazin-1-yl)propyl}oxy}quinazolin-4-yl}oxy}phenyl)- <i>N</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
88	<i>N</i> -(3-fluoro-4-{{6-(methyloxy)-7-{{3-(piperazin-1-yl)propyl}oxy}quinazolin-4-yl}oxy}phenyl)- <i>N</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
89	(2 <i>R</i> ,3 <i>R</i>)- <i>N</i> -(3-fluoro-4-{{6-(methyloxy)-7-{{3-(morpholin-4-yl)propyl}oxy}quinazolin-4-yl}oxy}phenyl)- <i>N</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
90	<i>N</i> -(4-{{7-{{3-(diethylamino)propyl}oxy}-6-(methyloxy)quinolin-4-yl}oxy}-3-fluorophenyl)- <i>N</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
91	<i>N</i> -(3-fluoro-4-{{6-(methyloxy)-7-{{3-(4-methylpiperazin-1-yl)propyl}oxy}quinolin-4-yl}oxy}phenyl)- <i>N</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
92	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -(4-{{7-{{3-(diethylamino)propyl}oxy}-6-(methyloxy)quinazolin-4-yl}oxy}-3-fluorophenyl)- <i>N</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
93	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -(3-fluoro-4-{{6-(methyloxy)-7-{{3-(4-methylpiperazin-1-yl)propyl}oxy}quinazolin-4-yl}oxy}phenyl)- <i>N</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
94	(2 <i>R</i> ,3 <i>R</i>)- <i>N</i> -(4-{{7-{{2-(diethylamino)ethyl}oxy}-6-(methyloxy)quinazolin-4-yl}oxy}-3-fluorophenyl)- <i>N</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
95	(2 <i>R</i> ,3 <i>R</i>)- <i>N</i> -(4-{{7-{{3-(diethylamino)propyl}oxy}-6-(methyloxy)quinazolin-4-yl}oxy}-3-fluorophenyl)- <i>N</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
96	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -(3-fluoro-4-{{6-(methyloxy)-7-{{3-(piperazin-1-yl)propyl}oxy}quinazolin-4-yl}oxy}phenyl)- <i>N</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
97	(2 <i>R</i> ,3 <i>R</i>)- <i>N</i> -(4-{{7-{{2-(diethylamino)ethyl}oxy}-6-(methyloxy)quinolin-4-yl}oxy}-3-fluorophenyl)- <i>N</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
98	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -((4-fluorophenyl)methyl)cyclopropane-1,1-dicarboxamide
99	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -(2-morpholin-4-ylethyl)cyclopropane-1,1-dicarboxamide
100	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -(2-(piperidin-1-ylmethyl)phenyl)cyclopropane-1,1-dicarboxamide
101	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -(2-(pyrrolidin-1-ylmethyl)phenyl)cyclopropane-1,1-dicarboxamide
102	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -(3-(morpholin-4-ylmethyl)phenyl)cyclopropane-1,1-dicarboxamide
103	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -(2-(morpholin-4-ylmethyl)phenyl)cyclopropane-1,1-dicarboxamide
104	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -phenylcyclopropane-1,1-dicarboxamide
105	<i>N</i> -(3-(aminomethyl)phenyl)- <i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)cyclopropane-1,1-dicarboxamide
106	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -(3-(piperidin-1-ylmethyl)phenyl)cyclopropane-1,1-dicarboxamide
107	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N</i> -(3-(pyrrolidin-1-ylmethyl)phenyl)cyclopropane-1,1-dicarboxamide
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.

Table 4. Representative EGFR and/or VEGFR Inhibitors

Entry	Name
1	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-((3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-(1-

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
	methylethyl)octahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
2	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
3	7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-acetyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)- <i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)quinazolin-4-amine
4	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-octahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy}quinazolin-4-amine
5	ethyl (3 <i>aR</i> ,6 <i>aS</i>)-5-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydrocyclopenta[c]pyrrole-2(1 <i>H</i>)-carboxylate
6	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-(methylsulfonyl)octahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)quinazolin-4-amine
7	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
8	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)quinazolin-4-amine
9	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>s</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
10	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>s</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
11	<i>N</i> -(3-chloro-2,4-difluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>s</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
12	<i>N</i> -(4,5-dichloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>s</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
13	<i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>s</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
14	<i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-({[(3 <i>aR</i> ,5 <i>s</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
15	<i>N</i> -(3,4-dichlorophenyl)-7-({[(3 <i>aR</i> ,5 <i>s</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
16	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
17	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl)methyl}oxy)quinazolin-4-amine
18	<i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-({[(3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
19	<i>N</i> -(4,5-dichloro-2-fluorophenyl)-7-({[(3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
20	<i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
21	<i>N</i> -(3-chloro-2,4-difluorophenyl)-7-({[(3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
22	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-({[(3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
23	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
24	<i>N</i> -(3-chloro-2,4-difluorophenyl)-7-({[(3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
25	<i>N</i> -(3,4-dichlorophenyl)-7-({[(hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
26	<i>N</i> -(4,5-dichloro-2-fluorophenyl)-7-(((3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
27	<i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-(((3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
28	<i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-(((3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
29	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-(((3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
30	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-(((3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
31	<i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>R</i> ,8 <i>aR</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
32	<i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
33	<i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>S</i> ,8 <i>aR</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
34	<i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
35	<i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>R</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
36	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
37	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
38	<i>N</i> -(3-chloro-2,4-difluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
39	<i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
40	<i>N</i> -(4,5-dichloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
41	1,4:3,6-dianhydro-5-(((4-((4-bromo-5-chloro-2-fluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-5-deoxy-2- <i>O</i> -methyl-D-xylo-hexitol
42	1,4:3,6-dianhydro-5-deoxy-5-(((4-((3,4-dichlorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-2- <i>O</i> -methyl-D-glucitol
43	1,4:3,6-dianhydro-5-deoxy-5-(((4-((3,4-dichloro-2-fluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-2- <i>O</i> -methyl-D-xylo-hexitol
44	1,4:3,6-dianhydro-5-(((4-((4-bromo-3-chloro-2-fluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-5-deoxy-2- <i>O</i> -methyl-D-xylo-hexitol
45	1,4:3,6-dianhydro-5-(((4-((3-chloro-2,4-difluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-5-deoxy-2- <i>O</i> -methyl-D-xylo-hexitol
46	1,4:3,6-dianhydro-5-(((4-((4-bromo-2,3-dichlorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-5-deoxy-2- <i>O</i> -methyl-D-glucitol
47	1,4:3,6-dianhydro-2-deoxy-2-(((4-((3,4-dichlorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-5- <i>O</i> -methyl-D-threo-hexitol
48	1,4:3,6-dianhydro-5-deoxy-5-(((4-((4,5-dichloro-2-fluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)-2- <i>O</i> -methyl-D-glucitol
49	(3 <i>S</i> ,9 <i>aS</i>)-3-(((4-((3,4-dichloro-2-fluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)hexahydro-2 <i>H</i> -pyrido[1,2- <i>a</i>]pyrazin-1(6 <i>H</i>)-one
50	(3 <i>S</i> ,9 <i>aR</i>)-3-(((4-((3,4-dichloro-2-fluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)hexahydro-2 <i>H</i> -pyrido[1,2- <i>a</i>]pyrazin-1(6 <i>H</i>)-one
51	(3 <i>S</i> ,8 <i>aS</i>)-3-(((4-((3,4-dichloro-2-fluorophenyl)amino)-6-(methyloxy)quinazolin-7-yl)oxy)methyl)hexahydropyrrolo[1,2- <i>a</i>]pyrazin-1(2 <i>H</i>)-one

Table 4. Representative EGFR and/or VEGFR Inhibitors

Entry	Name
52	(3S,8aR)-3-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydropyrrolo[1,2-a]pyrazin-1(2H)-one
53	(3S,8aS)-3-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydropyrrolo[1,2-a]pyrazin-1(2H)-one
54	(3S,8aS)-3-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-2-methylhexahydropyrrolo[1,2-a]pyrazin-1(2H)-one
55	N-(3,4-dichlorophenyl)-7-({2-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)amino]ethyl}oxy)-6-(methyloxy)quinazolin-4-amine
56	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{{{(8aR)-tetrahydro-1H-[1,3]thiazolo[4,3-c][1,4]oxazin-6-ylmethyl}oxy}quinazolin-4-amine
57	N-(3,4-dichlorophenyl)-7-{{[2-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)ethyl]oxy}-6-(methyloxy)quinazolin-4-amine
58	N-(3,4-dichlorophenyl)-7-{{{(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)methyl}oxy}-6-(methyloxy)quinazolin-4-amine
59	N-(3,4-dichlorophenyl)-7-{{{(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl}oxy}-6-(methyloxy)quinazolin-4-amine
60	N-(3,4-dichlorophenyl)-7-{{(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)oxy}-6-(methyloxy)quinazolin-4-amine
61	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
62	1,4:3,6-dianhydro-2-O-[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
63	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
64	1,4:3,6-dianhydro-2-O-methyl-5-O-{6-(methyloxy)-4-[(2,3,4-trichlorophenyl)amino]quinazolin-7-yl}-L-iditol
65	1,4:3,6-dianhydro-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-D-xylo-hexitol
66	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
67	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-L-sorbitol ethylene glycol acetal
68	1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
69	1,4:3,6-dianhydro-2-O-[4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
70	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-(difluoromethyl)-L-iditol
71	1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
72	1,4:3,6-dianhydro-2-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
73	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
74	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-ethyl-L-iditol
75	1,4:3,6-dianhydro-2-O-[4-[(3-bromo-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
76	1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
77	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-deoxy-D-xylo-hexitol

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
78	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-D-glucitol
79	methyl 3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-alpha-L-idofuranoside
80	3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-1,2-O-(1-methylethylidene)-beta-L-xylo-hexofuranose
81	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-deoxy-5-methylidene-D-xylo-hexitol
82	methyl 3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-beta-L-idofuranoside
83	N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-[(octahydro-2H-quinolizin-3-yl)methyloxy]quinazolin-4-amine
84	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,3,4-trifluorophenyl)amino]quinazolin-7-yl}-D-itol
85	1,4:3,6-dianhydro-5-O-[4-[(2-chloro-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
86	1,4:3,6-dianhydro-5-O-[4-[(2-bromo-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
87	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,6-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-itol
88	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
89	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-itol
90	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-itol
91	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,5-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-itol
92	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,3-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-itol
93	1,4:3,6-dianhydro-5-O-[4-[(5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
94	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,5-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-itol
95	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
96	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
97	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-itol
98	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
99	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,4,5-trifluorophenyl)amino]quinazolin-7-yl}-D-itol
100	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,4,6-trifluorophenyl)amino]quinazolin-7-yl}-D-itol
101	1,4:3,6-dianhydro-5-O-[4-[(4-chlorophenyl)oxy]-3,5-difluorophenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
102	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol
103	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-itol

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
104	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chloro-5-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
105	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-idoitol
106	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[6-(methyloxy)-4-[(2,3,4-trichlorophenyl)amino]quinazolin-7-yl]-D-idoitol
107	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[6-(methyloxy)-4-[(3,4,5-trichlorophenyl)amino]quinazolin-7-yl]-D-idoitol
108	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
109	1,4:3,6-dianhydro-5-O-[4-[(4-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
110	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
111	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-idoitol
112	1,4:3,6-dianhydro-5-O-[4-[(2-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
113	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-idoitol
114	1,4:3,6-dianhydro-5-O-[4-[(3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
115	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-idoitol
116	1,4:3,6-dianhydro-5-O-[4-[(4-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
117	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-idoitol
118	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,5-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-idoitol
119	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-idoitol
120	1,4:3,6-dianhydro-5-O-[4-[(2-bromo-4,6-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
121	1,4:3,6-dianhydro-5-O-[4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
122	1,4:3,6-dianhydro-5-O-[4-[[2-chloro-5-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
123	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[[2-fluoro-3-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-D-idoitol
124	1,4:3,6-dianhydro-5-O-[4-[[2-bromo-5-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
125	1,4:3,6-dianhydro-5-O-[4-[[2-bromo-4-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
126	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-D-idoitol
127	1,4:3,6-dianhydro-5-O-[4-[[3-bromo-5-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
128	1,4:3,6-dianhydro-5-O-[4-[(2-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
129	1,4:3,6-dianhydro-5-O-[4-[(3-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
130	1,4:3,6-dianhydro-5-O-[4-[(4-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
131	1,4:3,6-dianhydro-5-O-[4-[(3-bromo-4-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
132	1,4:3,6-dianhydro-5-O-[4-[(5-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
133	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,5-dimethylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-idoitol
134	1,4:3,6-dianhydro-5-O-[4-[[2,5-bis(methyloxy)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
135	1,4:3,6-dianhydro-5-O-[4-[[5-chloro-2,4-bis(methyloxy)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
136	1,4:3,6-dianhydro-5-O-[4-[[4-chloro-2,5-bis(methyloxy)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
137	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-idoitol
138	<i>N</i> -(3,4-dichlorophenyl)-7-[[{5-[(dimethylamino)methyl]-1,2,4-oxadiazol-3-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
139	<i>N</i> -(3,4-dichlorophenyl)-7-[[{3-[(dimethylamino)methyl]-1,2,4-oxadiazol-5-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
140	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{3-[(4-methylpiperazin-1-yl)methyl]-1,2,4-oxadiazol-5-yl)methyl}oxy]quinazolin-4-amine
141	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-piperidin-4-yl-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
142	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-(1-methylpiperidin-4-yl)-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
143	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{3-(morpholin-4-ylmethyl)-1,2,4-oxadiazol-5-yl)methyl}oxy]quinazolin-4-amine
144	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
145	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-piperidin-2-yl-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
146	<i>N</i> -(3,4-dichlorophenyl)-7-[[{2-[(dimethylamino)methyl]-1,3-thiazol-4-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
147	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{4-(phenylmethyl)morpholin-2-yl)methyl}oxy]quinazolin-4-amine
148	1,1-dimethylethyl 2-[[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl]morpholine-4-carboxylate
149	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{2-(morpholin-4-ylmethyl)-1,3-thiazol-4-yl)methyl}oxy]quinazolin-4-amine
150	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{2-[(4-methylpiperazin-1-yl)methyl]-1,3-thiazol-4-yl)methyl}oxy]quinazolin-4-amine
151	<i>N</i> -(3,4-dichlorophenyl)-7-[[{4-methylmorpholin-2-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
152	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(1,4-oxazepan-2-ylmethyl)oxy]quinazolin-4-amine
153	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-piperidin-3-yl-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
154	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-(1-methylpiperidin-2-yl)-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
155	<i>N</i> -(3,4-dichlorophenyl)-7-[[{4-methyl-1,4-oxazepan-2-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
156	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-(1-methylpiperidin-3-yl)-1,2,4-oxadiazol-3-yl]methyl)oxy]quinazolin-4-amine
157	<i>N</i> -(3,4-dichlorophenyl)-7-([5-(1,1-dimethylethyl)-1,2,4-oxadiazol-3-yl]methyl)oxy)-6-(methyloxy)quinazolin-4-amine
158	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-phenyl-1,3-thiazol-4-yl]methyl)oxy]quinazolin-4-amine
159	7-[(2,1,3-benzothiadiazol-4-ylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
160	<i>N</i> -(3,4-dichlorophenyl)-7-([5-methylisoxazol-3-yl]methyl)oxy)-6-(methyloxy)quinazolin-4-amine
161	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-methyl-4-phenylisoxazol-3-yl]methyl)oxy]quinazolin-4-amine
162	7-[(1,3-benzothiazol-2-ylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
163	7-[(2,1,3-benzoxadiazol-5-ylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
164	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-(2-thienyl)-1,3-thiazol-4-yl]methyl)oxy]quinazolin-4-amine
165	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([1-phenyl-1H-pyrazol-4-yl]methyl)oxy]quinazolin-4-amine
166	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-[3-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl)oxy]quinazolin-4-amine
167	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-[4-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl)oxy]quinazolin-4-amine
168	7-([3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]methyl)oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
169	7-([6-bromo-2-(methyloxy)naphthalen-1-yl]methyl)oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
170	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(1,3-thiazol-4-ylmethyl)oxy]quinazolin-4-amine
171	7-([6-chloropyridin-3-yl]methyl)oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
172	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(pyridin-4-ylmethyl)oxy]quinazolin-4-amine
173	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-methyl-1,3-thiazol-4-yl]methyl)oxy]quinazolin-4-amine
174	7-([6-chloro-4H-1,3-benzodioxin-8-yl]methyl)oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
175	7-([5-chloro-1-methyl-3-phenyl-1H-pyrazol-4-yl]methyl)oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
176	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([1-methyl-3-(trifluoromethyl)-1H-thieno[2,3-c]pyrazol-5-yl]methyl)oxy]quinazolin-4-amine
177	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([3-phenylisoxazol-5-yl]methyl)oxy]quinazolin-4-amine
178	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2,4,6-trimethylphenyl)methyl]oxy]quinazolin-4-amine
179	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(pyridin-3-ylmethyl)oxy]quinazolin-4-amine
180	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([3-[4-(methyloxy)phenyl]isoxazol-5-yl]methyl)oxy]quinazolin-4-amine
181	<i>N</i> -(3,4-dichlorophenyl)-7-([5-[(2,4-dichlorophenyl)oxy]-1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]methyl)oxy)-6-(methyloxy)quinazolin-4-amine
182	7-[(cyclopropylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
183	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(tetrahydrofuran-2-ylmethyl)oxy]quinazolin-4-amine
184	7-(cyclopentyloxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
185	7-[(2-cyclohexylethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
186	7-[(cyclohexylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
187	7-[(cyclobutylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
188	<i>N</i> -(3,4-dichlorophenyl)-7-[[2-(1,3-dioxolan-2-yl)ethyl]oxy]-6-(methyloxy)quinazolin-4-amine
189	<i>N</i> -(3,4-dichlorophenyl)-7-[[2-(1,3-dioxan-2-yl)ethyl]oxy]-6-(methyloxy)quinazolin-4-amine
190	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-morpholin-4-ylethyl)oxy]quinazolin-4-amine
191	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-pyrrolidin-1-ylethyl)oxy]quinazolin-4-amine
192	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-piperidin-1-ylethyl)oxy]quinazolin-4-amine
193	2-(2-[[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy]ethyl)-1 <i>H</i> -isoindole-1,3(2 <i>H</i>)-dione
194	methyl 6-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-alpha-D-glucopyranoside
195	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-morpholin-4-yl-2-oxoethyl)oxy]quinazolin-4-amine
196	1,1-dimethylethyl 2-[3-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,2,4-oxadiazol-5-yl]piperidine-1-carboxylate
197	1,1-dimethylethyl 4-[3-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,2,4-oxadiazol-5-yl]piperidine-1-carboxylate
198	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-pyrrolidin-1-ylphenyl)-1,3-thiazol-2-yl]methyl}oxy)quinazolin-4-amine
199	<i>N</i> -(3,4-dichlorophenyl)-7-({[4-[(4-diethylamino)phenyl]-1,3-thiazol-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
200	5-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-4-yl]-2-hydroxybenzamide
201	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-pyridin-3-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
202	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-pyridin-2-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
203	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-pyridin-4-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
204	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(2-morpholin-4-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
205	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[3-(3-morpholin-4-yl-1,2,4-oxadiazol-5-yl)methyl]oxy}quinazolin-4-amine
206	<i>N</i> -(3,4-dichlorophenyl)-7-({[3-(dimethylamino)-1,2,4-oxadiazol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
207	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-[(4-methylpiperazin-1-yl)methyl]-1,3-thiazol-2-yl]methyl}oxy)quinazolin-4-amine
208	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4,5,6,7-tetrahydro[1,3]thiazolo[5,4- <i>c</i>]pyridin-2-yl)methyl]oxy]quinazolin-4-amine
209	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-morpholin-4-ylmethyl)-1,3-thiazol-2-yl]methyl}oxy)quinazolin-4-amine

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
210	<i>N</i> -(3,4-dichlorophenyl)-7-[[{4-[(4-methyl-1,4-diazepan-1-yl)methyl]-1,3-thiazol-2-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
211	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-[[{(phenylmethyl)oxy]methyl}-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
212	<i>N</i> -(3,4-dichlorophenyl)-7-[[{4-ethylmorpholin-2-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
213	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{2-piperidin-4-yl-1,3-thiazol-4-yl)methyl}oxy]quinazolin-4-amine
214	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{2-(1-methylpiperidin-4-yl)-1,3-thiazol-4-yl)methyl}oxy]quinazolin-4-amine
215	1,1-dimethylethyl 4-[5-[[{4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl]-1,2,4-oxadiazol-3-yl]piperazine-1-carboxylate
216	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{3-piperazin-1-yl-1,2,4-oxadiazol-5-yl)methyl}oxy]quinazolin-4-amine
217	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{3-(4-methylpiperazin-1-yl)-1,2,4-oxadiazol-5-yl)methyl}oxy]quinazolin-4-amine
218	<i>N</i> -(3,4-dichlorophenyl)-7-[[{5-(1-ethylpiperidin-2-yl)-1,2,4-oxadiazol-3-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
219	<i>N</i> -(3,4-dichlorophenyl)-7-[[{3-(4-ethylpiperazin-1-yl)-1,2,4-oxadiazol-5-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
220	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-[4-(methyloxy)phenyl]-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
221	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-4-yl)methyl}oxy]quinazolin-4-amine
222	7-[[{2-(4-chlorophenyl)-1,3-thiazol-4-yl)methyl}oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
223	<i>N</i> -(3,4-dichlorophenyl)-7-[[{5-(3,5-dimethylisoxazol-4-yl)-1,2,4-oxadiazol-3-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
224	7-[[{5-chloro-1-benzothien-3-yl)methyl}oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
225	<i>N</i> -(3,4-dichlorophenyl)-7-[[{3-[4-(1,1-dimethylethyl)phenyl]-1,2,4-oxadiazol-5-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
226	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-[2-(methyloxy)phenyl]-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
227	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-(4-methylphenyl)-1,3,4-oxadiazol-2-yl)methyl}oxy]quinazolin-4-amine
228	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{1-(phenylmethyl)-1H-imidazol-2-yl)methyl}oxy]quinazolin-4-amine
229	<i>N</i> -(3,4-dichlorophenyl)-7-[[{3-(2,6-dichlorophenyl)-5-methylisoxazol-4-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
230	<i>N</i> -(3,4-dichlorophenyl)-7-[[{6-fluoro-4H-1,3-benzodioxin-8-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
231	7-[[{3,5-dibromophenyl)methyl}oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
232	<i>N</i> -(3,4-dichlorophenyl)-7-[[{2,6-difluorophenyl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
233	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{3-[(pyridin-2-ylsulfonyl)methyl]-1,2,4-oxadiazol-5-yl)methyl}oxy]quinazolin-4-amine
234	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{5-phenyl-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
235	7-[[{4-chloro-2-(trifluoromethyl)quinolin-6-yl)methyl}oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
236	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-{{2-(1-methylpyrrolidin-2-yl)ethyl}oxy}quinazolin-4-amine
237	<i>N</i> -(3,4-dichlorophenyl)-7-({[5-(1-ethylpiperidin-4-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
238	<i>N</i> -(3,4-dichlorophenyl)-7-({[5-(1-ethylpiperidin-3-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
239	<i>N</i> -(3,4-dichlorophenyl)-7-({[2-(dimethylamino)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
240	<i>N</i> -(3,4-dichlorophenyl)-7-({[4-ethyl-1,4-oxazepan-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
241	<i>N</i> -(3,4-dichlorophenyl)-7-({[2-(1-ethylpiperidin-4-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
242	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[3-[(2 <i>S</i>)-pyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl]methyl}oxy)quinazolin-4-amine
243	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-[(2 <i>S</i>)-pyrrolidin-2-yl]-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
244	[4-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-2-yl]methyl benzoate
245	[4-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-2-yl]methanol
246	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-methyl-4,5,6,7-tetrahydro[1,3]thiazolo[5,4- <i>c</i>]pyridin-2-yl]methyl}oxy)quinazolin-4-amine
247	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-[(4 <i>S</i>)-1,3-thiazolidin-4-yl]-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
248	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-piperidin-2-yl]-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
249	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(1-methylpiperidin-2-yl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
250	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-piperidin-3-yl]-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
251	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(1-methylpiperidin-3-yl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
252	<i>N</i> -(3,4-dichlorophenyl)-7-({[2-(1-ethylpiperidin-2-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
253	<i>N</i> -(3,4-dichlorophenyl)-7-({[2-(1-ethylpiperidin-3-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
254	<i>N</i> -(3,4-dichlorophenyl)-7-({[3-[(2 <i>S</i>)-1-ethylpyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
255	<i>N</i> -(3,4-dichlorophenyl)-7-({[2-[(2 <i>S</i>)-1-ethylpyrrolidin-2-yl]-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
256	<i>N</i> -(3,4-dichlorophenyl)-7-({[5-ethyl-4,5,6,7-tetrahydro[1,3]thiazolo[5,4- <i>c</i>]pyridin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
257	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-propyl-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
258	7-({[4-(cyclopropylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
259	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-[2-(methyloxy)ethyl]-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
260	<i>N</i> -(3,4-dichlorophenyl)-7-({[4-(1-methylethyl)-1,4-oxazepan-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
261	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-piperazin-1-yl]-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine

Table 4. Representative EGFR and/or VEGFR Inhibitors

Entry	Name
262	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(5-pyrrolidin-2-yl)-1,2,4-oxadiazol-3-yl)methyl}oxy]quinazolin-4-amine
263	<i>N</i> -(3,4-dichlorophenyl)-7-[[{(5-(1-ethylpyrrolidin-2-yl)-1,2,4-oxadiazol-3-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
264	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(3-[(2 <i>S</i>)-1-methylpyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl)methyl}oxy]quinazolin-4-amine
265	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(2-[(2 <i>S</i>)-1-methylpyrrolidin-2-yl]-1,3-thiazol-4-yl)methyl}oxy]quinazolin-4-amine
266	<i>N</i> -(3,4-dichlorophenyl)-7-[[{(2-(4-ethylpiperazin-1-yl)-1,3-thiazol-4-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
267	<i>N</i> -(3,4-dichlorophenyl)-7-[[{(1,4-dimethylpiperazin-2-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
268	7-[[{(4-cyclopentylmorpholin-2-yl)methyl}oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
269	<i>N</i> -(3,4-dichlorophenyl)-7-[[{(4-(1-methylethyl)morpholin-2-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
270	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(4-(3-phenylpropyl)morpholin-2-yl)methyl}oxy]quinazolin-4-amine
271	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(4-[2-(methyloxy)ethyl]morpholin-2-yl)methyl}oxy]quinazolin-4-amine
272	ethyl 2-[2-((4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl)oxy)methyl]morpholin-4-yl]propanoate
273	<i>N</i> -(3,4-dichlorophenyl)-7-[[{(4-hex-5-en-1-ylmorpholin-2-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
274	2-((2-[2-((4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl)oxy)methyl]morpholin-4-yl)ethyl)oxy)ethanol
275	methyl 3-[2-((4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl)oxy)methyl]morpholin-4-yl]propanoate
276	6-[2-((4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl)oxy)methyl]morpholin-4-yl]hexanenitrile
277	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(4-(tetrahydro-2 <i>H</i> -pyran-2-yl)methyl)morpholin-2-yl)methyl}oxy]quinazolin-4-amine
278	4-[2-((4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl)oxy)methyl]morpholin-4-yl]butanenitrile
279	<i>N</i> -(3,4-dichlorophenyl)-7-[[{(4-[(4-fluorophenyl)methyl]morpholin-2-yl)methyl}oxy]-6-(methyloxy)quinazolin-4-amine
280	methyl 5-[2-((4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl)oxy)methyl]morpholin-4-yl]pentanoate
281	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(4-oct-7-en-1-ylmorpholin-2-yl)methyl}oxy]quinazolin-4-amine
282	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(4-propylmorpholin-2-yl)methyl}oxy]quinazolin-4-amine
283	6-[2-((4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl)oxy)methyl]morpholin-4-yl]hexan-1-ol
284	7-[[{(4-acetylmorpholin-2-yl)methyl}oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
285	7-[[{(4-(cyclopropylmethyl)morpholin-2-yl)methyl}oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
286	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(4-prop-2-yn-1-ylmorpholin-2-yl)methyl}oxy]quinazolin-4-amine
287	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{(4-pyridin-4-ylmorpholin-2-yl)methyl}oxy]quinazolin-4-amine